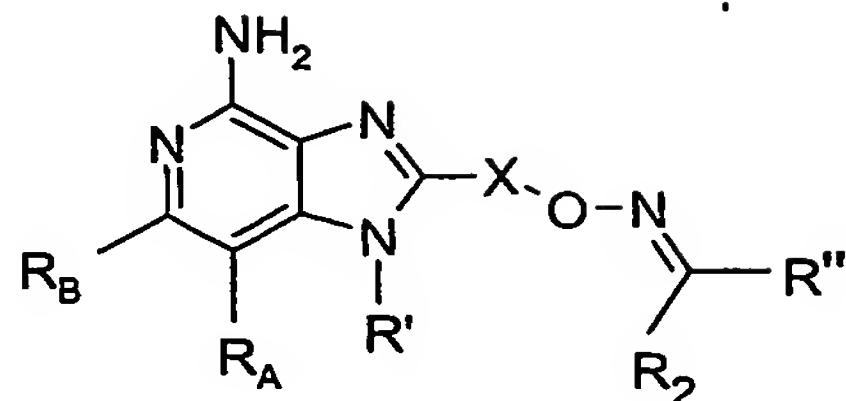


WHAT IS CLAIMED IS:

1. A compound of the Formula I:



I

5

wherein:

X is C₁₋₁₀ alkylene or C₂₋₁₀ alkenylene;R_A and R_B are each independently selected from the group consisting of:

hydrogen,

halogen,

alkyl,

alkenyl,

alkoxy,

alkylthio, and

-N(R₉)₂;or when taken together, R_A and R_B form a fused aryl ring or heteroaryl ring

containing one heteroatom selected from the group consisting of N and S, wherein the aryl or heteroaryl ring is unsubstituted or substituted by one or more R''' groups;

or when taken together, R_A and R_B form a fused 5 to 7 membered saturated

ring, optionally containing one heteroatom selected from the group consisting of N and S, and unsubstituted or substituted by one or more R groups;

R₂ and R" are independently selected from the group consisting of:

hydrogen,

alkyl,

alkenyl,

aryl,

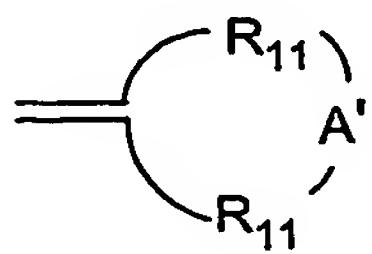
arylalkylenyl,

heteroaryl,

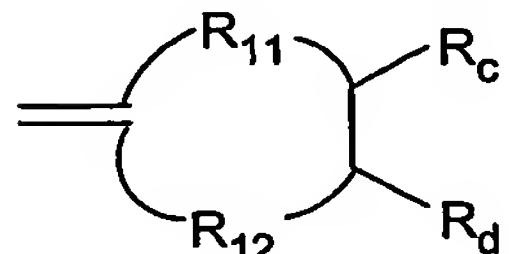
25

heteroarylalkylenyl,
heterocyclyl,
heterocyclalkylenyl, and
alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,
5 heterocyclyl, or heterocyclalkylenyl, substituted by one or more substituents selected
from the group consisting of:
hydroxy,
alkyl,
haloalkyl,
10 hydroxyalkyl,
alkoxy,
amino,
dialkylamino,
-S(O)₀₋₂-alkyl,
15 -S(O)₀₋₂-aryl,
-NH-S(O)₂-alkyl,
-NH-S(O)₂-aryl,
haloalkoxy,
halogen,
20 cyano,
nitro,
aryl,
heteroaryl,
heterocyclyl,
25 aryloxy,
arylalkyleneoxy,
-C(O)-O-alkyl,
-C(O)-N(R₈)₂,
-N(R₈)-C(O)-alkyl,
30 -O-(CO)-alkyl, and
-C(O)-alkyl;

or R_2 and R'' can join together to form a ring system selected from the group consisting of:



wherein the total number of atoms in the ring is 4 to 9, and



wherein the total number of atoms in the ring is 4 to 9;

5 R_c and R_d are independently selected from the group consisting of hydrogen, halogen, hydroxy, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and $-N(R_9)_2$; or R_c and R_d can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four heteroatoms;

A' is selected from the group consisting of $-O-$, $-S(O)_{0-2}-$, $-N(-Q-R_4)-$, and $-CH_2-$;

10 Q is selected from the group consisting of a bond, $-C(R_6)-$, $-C(R_6)-C(R_6)-$, $-S(O)_2-$, $-C(R_6)-N(R_8)-W-$, $-S(O)_2-N(R_8)-$, $-C(R_6)-O-$, and $-C(R_6)-N(OR_9)-$;

R is selected from the group consisting of:

halogen,

hydroxy,

15 alkyl,

alkenyl,

haloalkyl,

alkoxy,

alkylthio, and

20 $-N(R_9)_2$;

R' is hydrogen or a non-interfering substituent;

R'' is a non-interfering substituent;

25 R_4 is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted

or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

R_6 is selected from the group consisting of =O and =S;

R_8 is selected from the group consisting of hydrogen, C_{1-10} alkyl, C_{2-10} alkenyl, C_{1-10} alkoxy- C_{1-10} alkyl, and aryl- C_{1-10} alkyl;

10 R₉ is selected from the group consisting of hydrogen and alkyl;

R_{11} is C_{1-6} alkylene or C_{2-6} alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

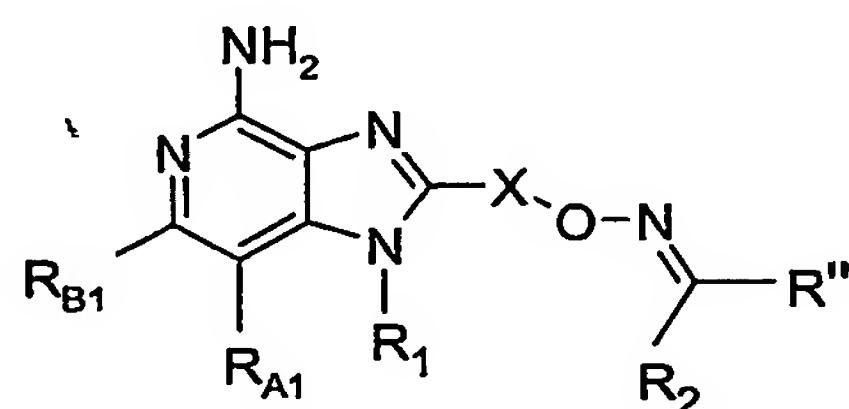
R_{12} is selected from the group consisting of a bond, C_{1-5} alkylene, and

C_{2-5} alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom; and

W is selected from the group consisting of a bond, -C(O)-, and -S(O)₂-; or a pharmaceutically acceptable salt thereof.

2. A compound of the Formula II:

20



II

wherein:

X is C₁₋₁₀ alkylene or C₂₋₁₀ alkenylene;

25 R_{A1} and R_{B1} are each independently selected from the group consisting of:

hydrogen,
halogen,
alkyl,

alkenyl,
alkoxy,
alkylthio, and
-N(R₉)₂;

5 or when taken together, R_{A1} and R_{B1} form a fused aryl ring or heteroaryl ring containing one heteroatom selected from the group consisting of N and S, wherein the aryl or heteroaryl ring is unsubstituted or substituted by one or more R groups, or substituted by one R₃ group, or substituted by one R₃ group and one R group;

10 or when taken together, R_{A1} and R_{B1} form a fused 5 to 7 membered saturated ring, optionally containing one heteroatom selected from the group consisting of N and S, and unsubstituted or substituted by one or more R groups;

R is selected from the group consisting of:

halogen,
hydroxy,
15 alkyl,
alkenyl,
haloalkyl,
alkoxy,
alkylthio, and
-N(R₉)₂;

20 R₁ is selected from the group consisting of:

-R₄,
-X'-R₄,
-X'-Y-R₄,
25 -X'-Y-X'-Y-R₄,
-X'-R₅,
-X"-O-NR_{1a}-Y'-R_{1b}, and
-X"-O-N=C(R₁')(R₁");

20 R₂, R", R_{1a}, R_{1b}, R₁', and R₁" are independently selected from the group consisting of:

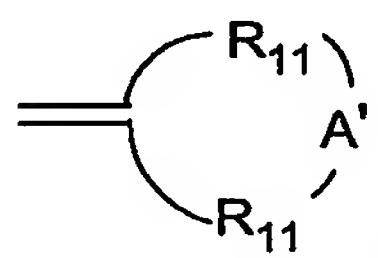
hydrogen,

alkyl,
alkenyl,
aryl,
arylalkylenyl,
5 heteroaryl,
heteroarylalkylenyl,
heterocyclyl,
heterocyclalkylenyl, and
alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,
10 heterocyclyl, or heterocyclalkylenyl, substituted by one or more substituents selected
from the group consisting of:
hydroxy,
alkyl,
haloalkyl,
15 hydroxyalkyl,
alkoxy,
amino,
dialkylamino,
-S(O)₀₋₂-alkyl,
20 -S(O)₀₋₂-aryl,
-NH-S(O)₂-alkyl,
-NH-S(O)₂-aryl,
haloalkoxy,
halogen,
25 cyano,
nitro,
aryl,
heteroaryl,
heterocyclyl,
30 aryloxy,
arylalkyleneoxy,

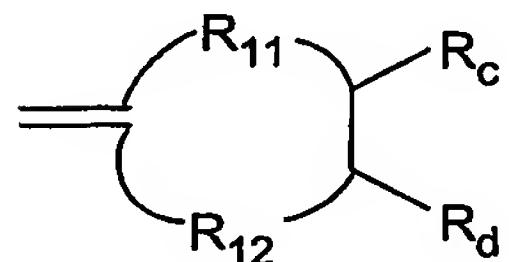
-C(O)-O-alkyl,
 -C(O)-N(R₈)₂,
 -N(R₈)-C(O)-alkyl,
 -O-(CO)-alkyl, and
 -C(O)-alkyl;

5

or R₂ and R" and/or R₁' and R₁" can join together to form a ring system selected from the group consisting of:



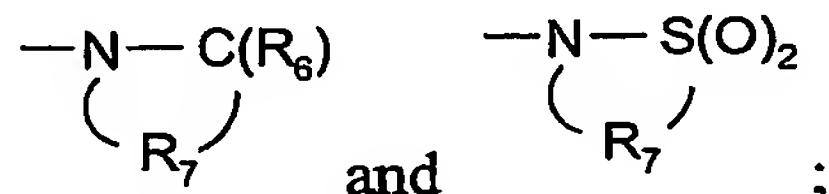
wherein the total number of atoms in the ring is 4 to 9, and



wherein the total number of atoms in the ring is 4 to 9;

10

or R_{1a} and R_{1b} together with the nitrogen atom and Y' to which they are bonded can join to form a ring selected from the group consisting of:



R₃ is selected from the group consisting of:

-Z-R₄,
 -Z-X'-R₄,
 -Z-X'-Y-R₄,
 -Z-X'-Y-X'-Y-R₄, and
 -Z-X'-R₅;

15

20

X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclene and optionally interrupted by one or more -O- groups;

25

X" is selected from the group consisting of -CH(R₁₃)-alkylene- and -CH(R₁₃)-alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

Y is selected from the group consisting of:

-S(O)₀₋₂₋,
 -S(O)₂-N(R₈)-,

-C(R₆)-,

-C(R₆)-O-,

5 -O-C(R₆)-,

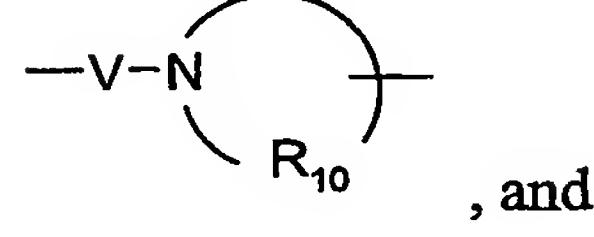
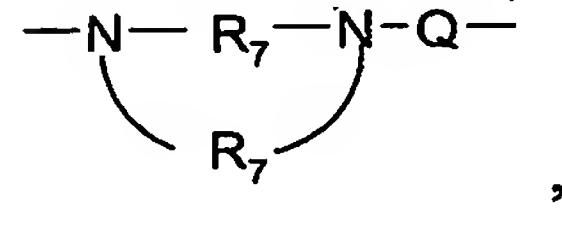
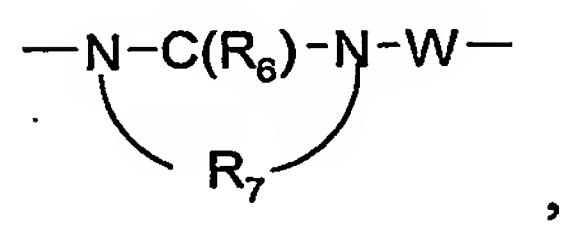
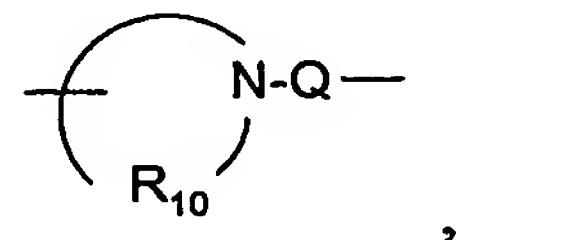
-O-C(O)-O-,

-N(R₈)-Q-,

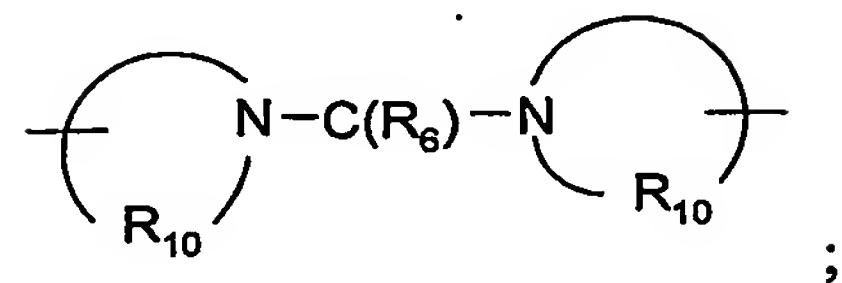
-C(R₆)-N(R₈)-,

-O-C(R₆)-N(R₈)-,

10 -C(R₆)-N(OR₉)-,



, and



15

Y' is selected from the group consisting of:

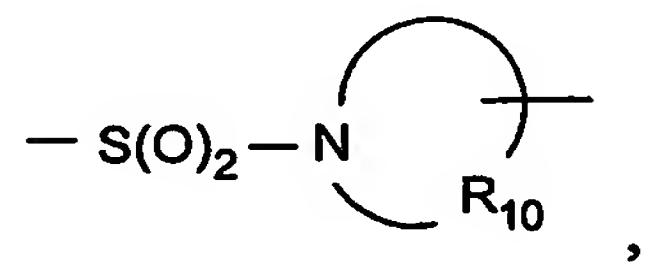
a bond,

-C(O)-,

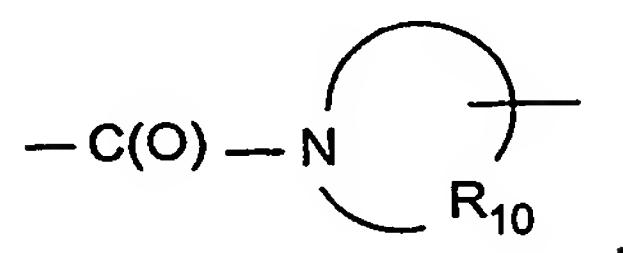
-C(S)-,

20 -S(O)₂-,

-S(O)₂-N(R₈)-,



-C(O)-O-,
 -C(O)-N(R₈)-,
 -C(S)-N(R₈)-,
 -C(O)-N(R₈)-S(O)₂-,
 5 -C(O)-N(R₈)-C(O)-,
 -C(S)-N(R₈)-C(O)-,



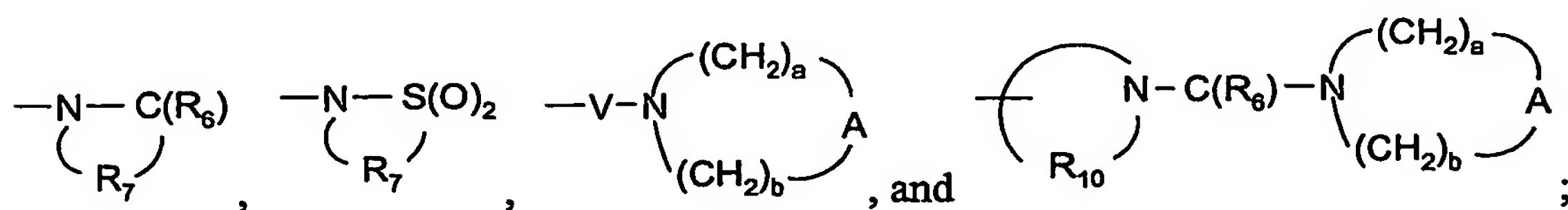
,
 -C(O)-C(O)-,
 -C(O)-C(O)-O-, and
 10 -C(=NH)-N(R₈)-;

Z is a bond or -O-;

R_c and R_d are independently selected from the group consisting of hydrogen, halogen, hydroxy, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and -N(R₉)₂; or R_c and R_d can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing 15 one to four heteroatoms;

R₄ is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, 20 heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, 25 (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

R₅ is selected from the group consisting of:



R₆ is selected from the group consisting of =O and =S;

R₇ is C₂₋₇ alkylene;

R₈ is selected from the group consisting of hydrogen, C₁₋₁₀ alkyl, C₂₋₁₀ alkenyl, C₁₋₁₀ alkoxy-C₁₋₁₀ alkylene, and aryl-C₁₋₁₀ alkylene;

5 R₉ is selected from the group consisting of hydrogen and alkyl;

R₁₀ is C₃₋₈ alkylene;

R₁₁ is C₁₋₆ alkylene or C₂₋₆ alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

10 R₁₂ is selected from the group consisting of a bond, C₁₋₅ alkylene, and C₂₋₅ alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R₁₃ is selected from the group consisting of hydrogen and alkyl which may be optionally interrupted by one or more -O- groups;

15 A is selected from the group consisting of -CH₂-, -O-, -C(O)-, -S(O)₀₋₂-, and -N(R₄)-;

A' is selected from the group consisting of -O-, -S(O)₀₋₂-, -N(-Q-R₄)-, and -CH₂-,

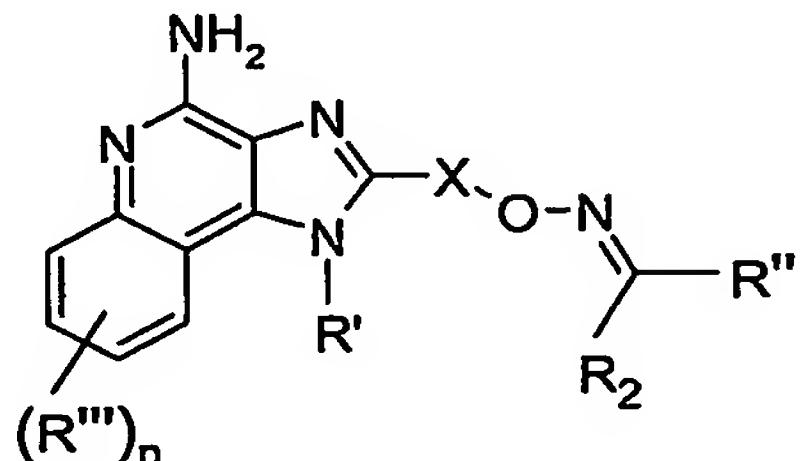
Q is selected from the group consisting of a bond, -C(R₆)-, -C(R₆)-C(R₆)-, -S(O)₂-, -C(R₆)-N(R₈)-W-, -S(O)₂-N(R₈)-, -C(R₆)-O-, and -C(R₆)-N(OR₉)-;

20 V is selected from the group consisting of -C(R₆)-, -O-C(R₆)-, -N(R₈)-C(R₆)-, and -S(O)₂-;

W is selected from the group consisting of a bond, -C(O)-, and -S(O)₂-, and

a and b are independently integers from 1 to 6 with the proviso that a + b is \leq 7; or a pharmaceutically acceptable salt thereof.

25 3. A compound of the Formula III:



III

wherein:

X is C₁₋₁₀ alkylene or C₂₋₁₀ alkenylene;

R₂ and R" are independently selected from the group consisting of:

hydrogen,

alkyl,

5 alkenyl,

aryl,

arylalkylenyl,

heteroaryl,

heteroarylalkylenyl,

10 heterocyclyl,

heterocyclylalkylenyl, and

alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,

heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents selected from the group consisting of:

15 hydroxy,

alkyl,

haloalkyl,

hydroxyalkyl,

alkoxy,

20 dialkylamino,

-S(O)₀₋₂-alkyl,

-S(O)₀₋₂-aryl,

-NH-S(O)₂-alkyl,

-NH-S(O)₂-aryl,

25 haloalkoxy,

halogen,

cyano,

nitro,

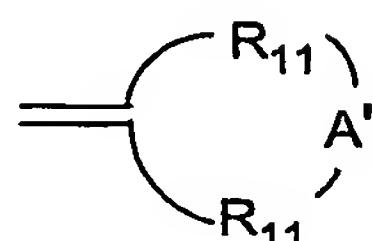
aryl,

30 heteroaryl,

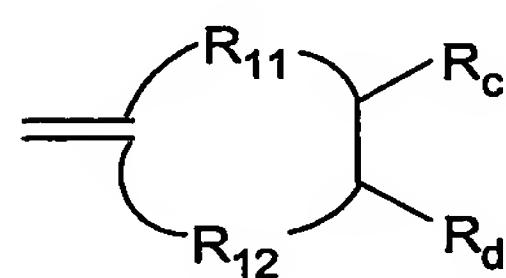
heterocyclyl,

aryloxy,
 arylalkyleneoxy,
 -C(O)-O-alkyl,
 -C(O)-N(R₈)₂,
 5 -N(R₈)-C(O)-alkyl,
 -O-(CO)-alkyl, and
 -C(O)-alkyl;

or R₂ and R" can join together to form a ring system selected from the group consisting of:



10 wherein the total number of atoms in the ring is 4 to 9, and



wherein the total number of atoms in the ring is 4 to 9;

A' is selected from the group consisting of -O-, -S(O)₀₋₂-, -N(-Q-R₄)-, and -CH₂-;

Q is selected from the group consisting of a bond, -C(R₆)-, -C(R₆)-C(R₆)-, -S(O)₂-, -C(R₆)-N(R₈)-W-, -S(O)₂-N(R₈)-, -C(R₆)-O-, and -C(R₆)-N(OR₉)-;

15 W is selected from the group consisting of a bond, -C(O)-, and -S(O)₂-;

R_c and R_d are independently selected from the group consisting of hydrogen, halogen, hydroxy, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and -N(R₉)₂; or R_c and R_d can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four heteroatoms;

20 R₄ is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy,

heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

5 R₆ is selected from the group consisting of =O and =S;

 R₈ is selected from the group consisting of hydrogen, C₁₋₁₀ alkyl, C₂₋₁₀ alkenyl, C₁₋₁₀ alkoxy-C₁₋₁₀ alkylene, and aryl-C₁₋₁₀ alkylene;

 R₉ is selected from the group consisting of hydrogen and alkyl;

 R₁₁ is C₁₋₆ alkylene or C₂₋₆ alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

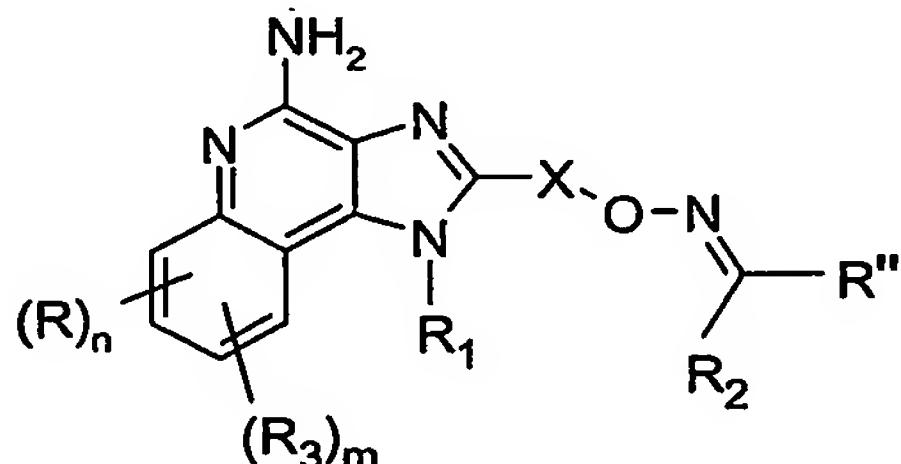
10 R₁₂ is selected from the group consisting of a bond, C₁₋₅ alkylene, and C₂₋₅ alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

 n is an integer from 0 to 4;

 R^{'''} is a non-interfering substituent; and

15 R' is hydrogen or a non-interfering substituent;
or a pharmaceutically acceptable salt thereof.

4. A compound of the Formula IIIa:



20 IIIa

wherein:

 X is C₁₋₁₀ alkylene or C₂₋₁₀ alkenylene;

 R is selected from the group consisting of:

 halogen,

25 hydroxy,

 alkyl,

 alkenyl,

 haloalkyl,

alkoxy,
alkylthio, and
-N(R₉)₂;

R₁ is selected from the group consisting of:

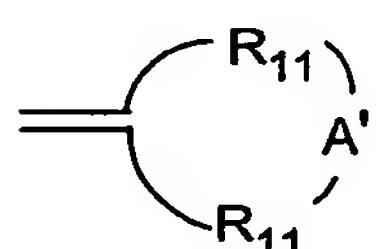
5 -R₄,
-X'-R₄,
-X'-Y-R₄,
-X'-Y-X'-Y-R₄,
-X'-R₅,
10 -X"-O-NR_{1a}-Y'-R_{1b}, and
-X"-O-N=C(R₁')(R₁");

R₂, R", R_{1a}, R_{1b}, R₁', and R₁" are independently selected from the group consisting of:

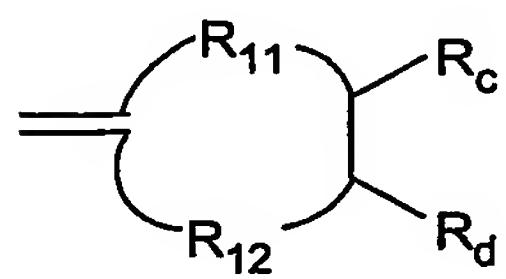
hydrogen,
15 alkyl,
alkenyl,
aryl,
arylalkylenyl,
heteroaryl,
20 heteroarylalkylenyl,
heterocyclyl,
heterocyclylalkylenyl, and
alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,
heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents selected
25 from the group consisting of:

hydroxy,
alkyl,
haloalkyl,
hydroxyalkyl,
30 alkoxy,
amino,

dialkylamino,
 -S(O)₀₋₂-alkyl,
 -S(O)₀₋₂-aryl,
 -NH-S(O)₂-alkyl,
 5 -NH-S(O)₂-aryl,
 haloalkoxy,
 halogen,
 cyano,
 nitro,
 10 aryl,
 heteroaryl,
 heterocyclyl,
 aryloxy,
 arylalkyleneoxy,
 15 -C(O)-O-alkyl,
 -C(O)-N(R₈)₂,
 -N(R₈)-C(O)-alkyl,
 -O-(CO)-alkyl, and
 -C(O)-alkyl;
 20 or R₂ and R" and/or R₁' and R₁" can join together to form a ring system
 selected from the group consisting of:

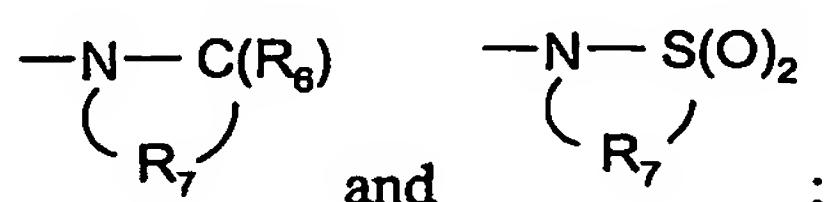


wherein the total number of atoms in the ring is 4 to 9, and



wherein the total number of atoms in the ring is 4 to 9;

25 or R_{1a} and R_{1b} together with the nitrogen atom and Y' to which they are bonded can
 join to form a ring selected from the group consisting of:



R_3 is selected from the group consisting of:

- Z-R₄,
- Z-X'-R₄,
- Z-X'-Y-R₄,
- 5 -Z-X'-Y-X'-Y-R₄, and
- Z-X'-R₅;

n is an integer from 0 to 4;

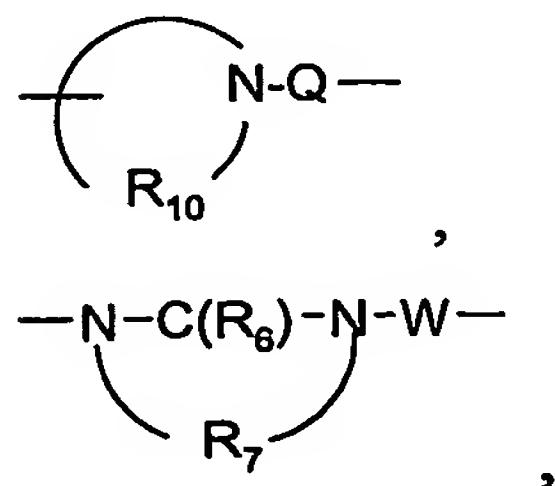
m is 0 or 1; with the proviso that when m is 1, then n is 0 or 1;

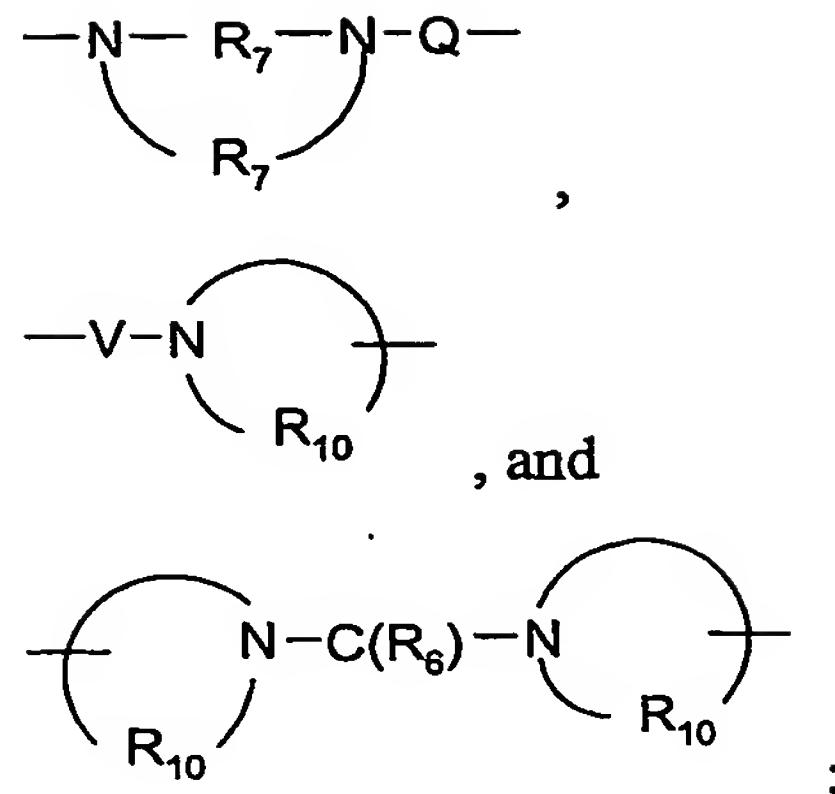
10 X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

15 X'' is selected from the group consisting of -CH(R₁₃)-alkylene- and -CH(R₁₃)-alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

Y is selected from the group consisting of:

- S(O)₀₋₂-,
- S(O)₂-N(R₈)-,
- C(R₆)-,
- 20 -C(R₆)-O-,
- O-C(R₆)-,
- O-C(O)-O-,
- N(R₈)-Q-,
- C(R₆)-N(R₈)-,
- 25 -O-C(R₆)-N(R₈)-,
- C(R₆)-N(OR₉)-,





Y' is selected from the group consisting of:

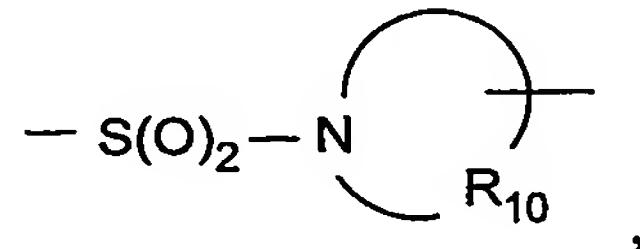
5 a bond,

-C(O)-,

-C(S)-,

-S(O)₂-,

-S(O)₂-N(R₈)-,



10

-C(O)-O-,

-C(O)-N(R₈)-,

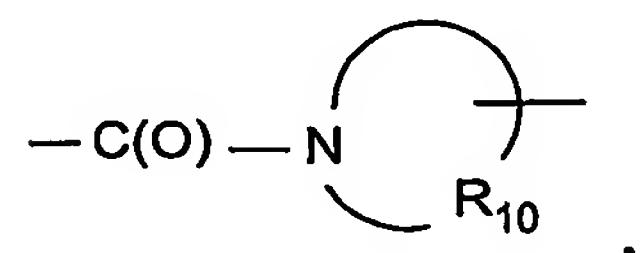
-C(S)-N(R₈)-,

-C(O)-N(R₈)-S(O)₂-,

15

-C(O)-N(R₈)-C(O)-,

-C(S)-N(R₈)-C(O)-,



20

-C(O)-C(O)-,

-C(O)-C(O)-O-, and

-C(=NH)-N(R₈)-;

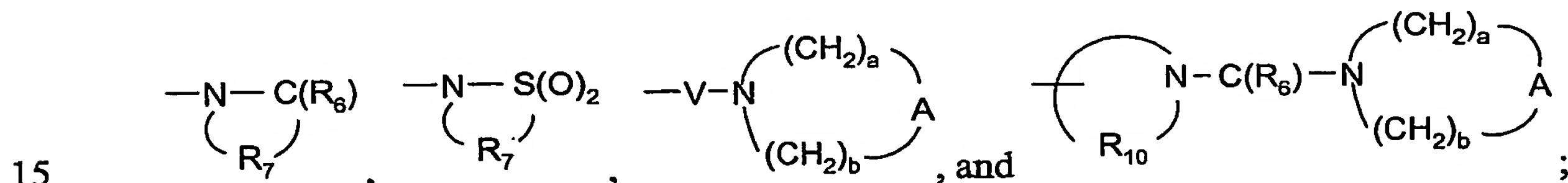
Z is a bond or -O-;

R_c and R_d are independently selected from the group consisting of hydrogen, halogen, hydroxy, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and -N(R₉)₂; or R_c and

R_d can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four heteroatoms;

R₄ is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

R₅ is selected from the group consisting of:



R₆ is selected from the group consisting of =O and =S;

R₇ is C₂₋₇ alkylene;

R₈ is selected from the group consisting of hydrogen, C₁₋₁₀ alkyl, C₂₋₁₀ alkenyl, C₁₋₁₀ alkoxy-C₁₋₁₀ alkylene, and aryl-C₁₋₁₀ alkylene;

20 R₉ is selected from the group consisting of hydrogen and alkyl;

R₁₀ is C₃₋₈ alkylene;

R₁₁ is C₁₋₆ alkylene or C₂₋₆ alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

25 R₁₂ is selected from the group consisting of a bond, C₁₋₅ alkylene, and C₂₋₅ alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R₁₃ is selected from the group consisting of hydrogen and alkyl which may be optionally interrupted by one or more -O- groups;

A is selected from the group consisting of -CH₂-, -O-, -C(O)-, -S(O)₀₋₂-, and

-N(R₄)-;

A' is selected from the group consisting of -O-, -S(O)₀₋₂₋, -N(-Q-R₄)-, and -CH₂-;

Q is selected from the group consisting of a bond, -C(R₆)-, -C(R₆)-C(R₆)-, -S(O)₂-, -C(R₆)-N(R₈)-W-, -S(O)₂-N(R₈)-, -C(R₆)-O-, and -C(R₆)-N(OR₉)-;

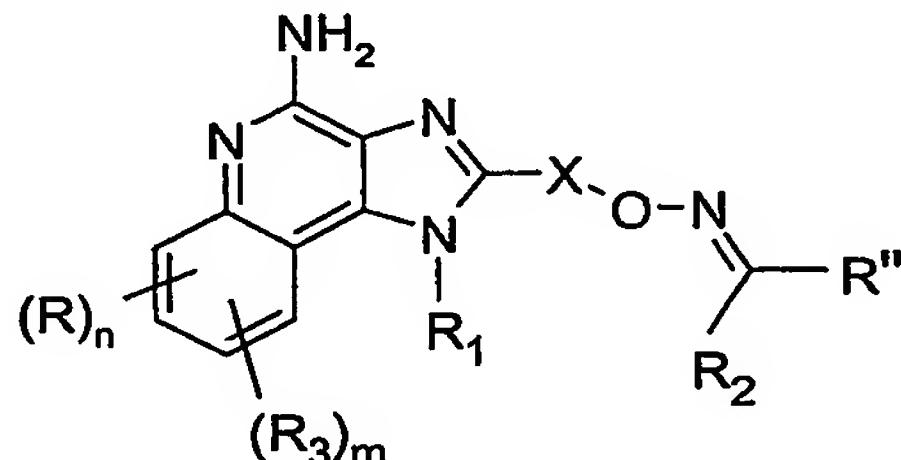
5 V is selected from the group consisting of -C(R₆)-, -O-C(R₆)-, -N(R₈)-C(R₆)-, and -S(O)₂-;

W is selected from the group consisting of a bond, -C(O)-, and -S(O)₂-; and

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7 ;
or a pharmaceutically acceptable salt thereof.

10

5. A compound of the Formula IIIa:



IIIa

wherein:

15 X is C₁₋₁₀ alkylene or C₂₋₁₀ alkenylene;

R is selected from the group consisting of:

halogen,

hydroxy,

alkyl,

20 alkenyl,

haloalkyl,

alkoxy,

alkylthio, and

-N(R₉)₂;

20

25 R₁ is selected from the group consisting of:

-R₄,

-X'-R₄,

-X'-Y-R₄,

-X'-Y-X'-Y-R₄,
-X'-R₅,
-X"-O-NH-Y'-R₁', and
-X"-O-N=C(R₁')(R₁")

5 R₂, R", R₁', and R₁" are independently selected from the group consisting of:

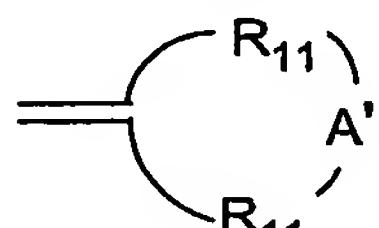
hydrogen,
alkyl,
alkenyl,
aryl,
10 arylalkylenyl,
heteroaryl,
heteroarylalkylenyl,
heterocyclyl,
heterocyclylalkylenyl, and

15 alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,
heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents selected
from the group consisting of:

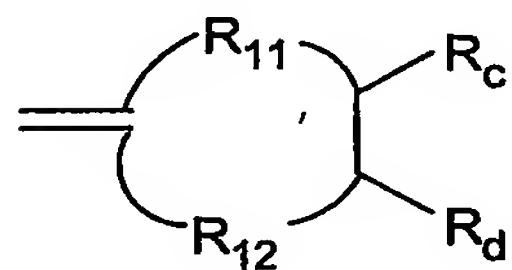
hydroxy,
alkyl,
20 haloalkyl,
hydroxyalkyl,
alkoxy,
dialkylamino,
-S(O)₀₋₂-alkyl,
25 -S(O)₀₋₂-aryl,
-NH-S(O)₂-alkyl,
-NH-S(O)₂-aryl,
haloalkoxy,
halogen,
30 cyano,
nitro,

aryl,
 heteroaryl,
 heterocyclyl,
 aryloxy,
 5 arylalkyleneoxy,
 -C(O)-O-alkyl,
 -C(O)-N(R₈)₂,
 -N(R₈)-C(O)-alkyl,
 -O-(CO)-alkyl, and
 10 -C(O)-alkyl;

or R₂ and R" and/or R₁' and R₁" can join together to form a ring system selected from the group consisting of:



wherein the total number of atoms in the ring is 4 to 9, and



wherein the total number of atoms in the ring is 4 to 9;

15 R₃ is selected from the group consisting of:

-Z-R₄,
 -Z-X'-R₄,
 -Z-X'-Y-R₄,
 -Z-X'-Y-X'-Y-R₄, and
 -Z-X'-R₅;

n is an integer from 0 to 4;

m is 0 or 1; with the proviso that when m is 1, then n is 0 or 1;

X' is selected from the group consisting of alkylene, alkenylene, alkynylene,

arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and

25 alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

X" is -CH(R₁₃)-alkylene- or -CH(R₁₃)-alkenylene-;

Y is selected from the group consisting of:

- S(O)₀₋₂₋,
- S(O)₂-N(R₈)-,
- C(R₆)-,

5 -C(R₆)-O-,

- O-C(R₆)-,

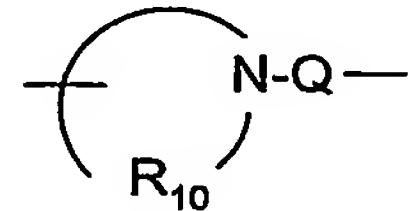
- O-C(O)-O-,

- N(R₈)-Q-,

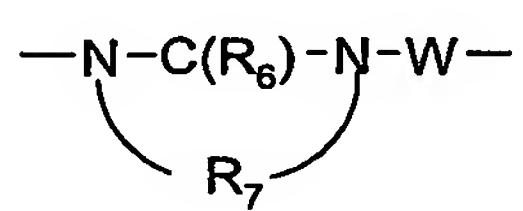
- C(R₆)-N(R₈)-,

10 -O-C(R₆)-N(R₈)-,

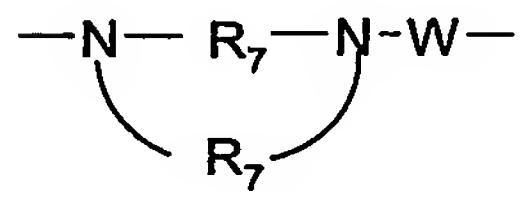
- C(R₆)-N(OR₉)-,



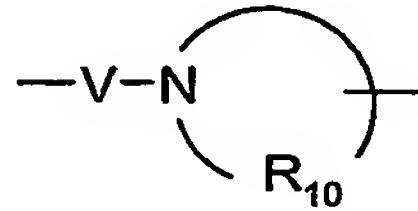
,



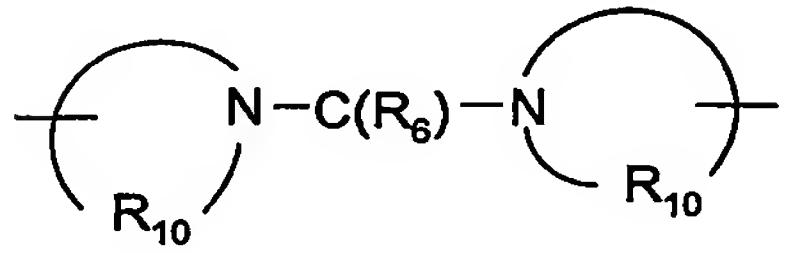
,



,



15 , and



;

Y' is selected from the group consisting of:

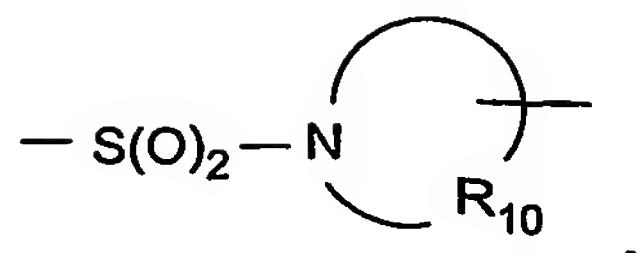
- a bond,

- C(O)-,

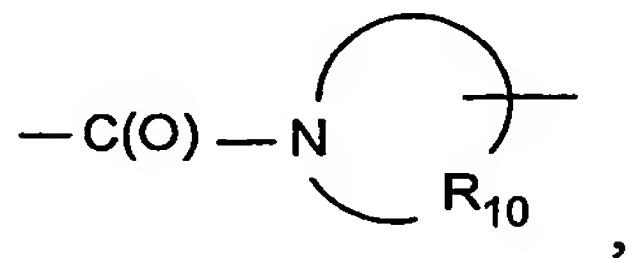
20 -C(S)-,

- S(O)₂₋,

- S(O)₂-N(R₈)-,



-C(O)-O-,
 -C(O)-N(R₈)-,
 -C(S)-N(R₈)-,
 5 -C(O)-N(R₈)-S(O)₂-,
 -C(O)-N(R₈)-C(O)-,
 -C(S)-N(R₈)-C(O)-,



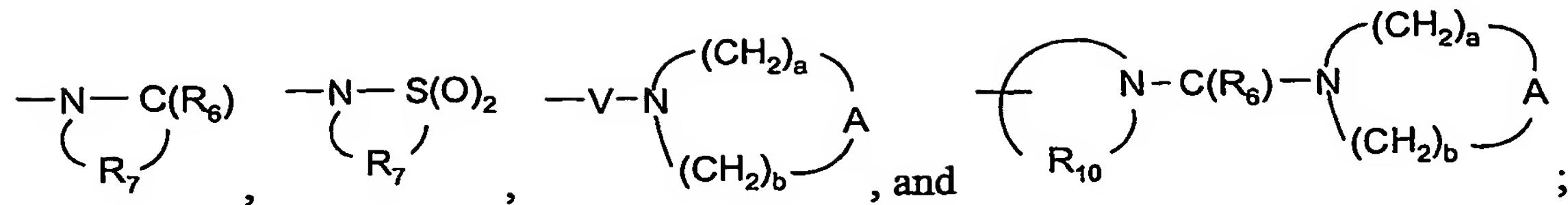
10 -C(O)-C(O)-,
 -C(O)-C(O)-O-, and
 -C(=NH)-N(R₈)-;

Z is a bond or -O-;

R_c and R_d are independently selected from the group consisting of hydrogen, halogen, hydroxy, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and -N(R₉)₂; or R_c and 15 R_d can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four heteroatoms;

R₄ is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, 20 alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, 25 (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

R₅ is selected from the group consisting of:



R_6 is selected from the group consisting of $=O$ and $=S$;

R_7 is C_{2-7} alkylene;

R_8 is selected from the group consisting of hydrogen, C_{1-10} alkyl, C_{2-10} alkenyl,

5 C_{1-10} alkoxy- C_{1-10} alkylene, and aryl- C_{1-10} alkylene;

R_9 is selected from the group consisting of hydrogen and alkyl;

R_{10} is C_{3-8} alkylene;

R_{11} is C_{1-6} alkylene or C_{2-6} alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

10 R_{12} is selected from the group consisting of a bond, C_{1-5} alkylene, and

C_{2-5} alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R_{13} is selected from the group consisting of hydrogen and alkyl which may be optionally interrupted by one or more $-O-$ groups;

15 A is selected from the group consisting of $-CH_2-$, $-O-$, $-C(O)-$, $-S(O)_{0-2}-$, and $-N(R_4)-$;

A' is selected from the group consisting of $-O-$, $-S(O)_{0-2}-$, $-N(-Q-R_4)-$, and $-CH_2-$;

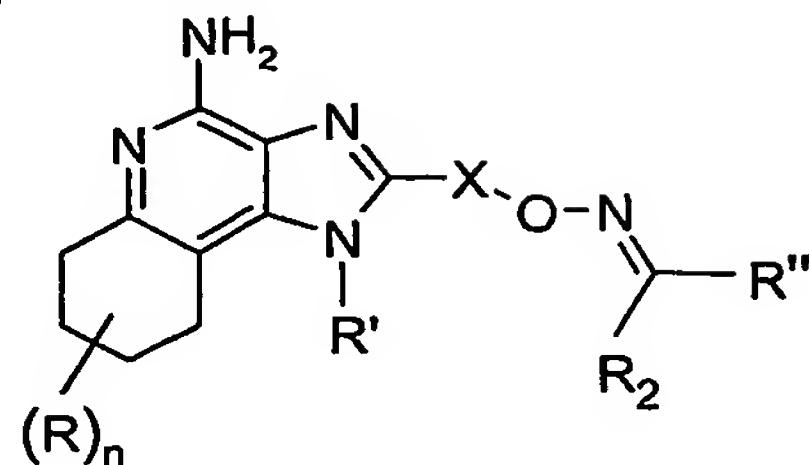
Q is selected from the group consisting of a bond, $-C(R_6)-$, $-C(R_6)-C(R_6)-$, $-S(O)_2-$, $-C(R_6)-N(R_8)-W-$, $-S(O)_2-N(R_8)-$, $-C(R_6)-O-$, and $-C(R_6)-N(OR_9)-$;

20 V is selected from the group consisting of $-C(R_6)-$, $-O-C(R_6)-$, $-N(R_8)-C(R_6)-$, and $-S(O)_2-$;

W is selected from the group consisting of a bond, $-C(O)-$, and $-S(O)_2-$; and

a and b are independently integers from 1 to 6 with the proviso that $a + b \leq 7$; or a pharmaceutically acceptable salt thereof.

6. A compound of the Formula IV:



5 wherein:

X is C₁₋₁₀ alkylene or C₂₋₁₀ alkenylene;R₂ and R" are independently selected from the group consisting of:

hydrogen,

alkyl,

10 alkenyl,

aryl,

arylalkylenyl,

heteroaryl,

heteroarylalkylenyl,

15 heterocyclyl,

heterocyclylalkylenyl, and

alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,

heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents selected from the group consisting of:

20 hydroxy,

alkyl,

haloalkyl,

hydroxyalkyl,

alkoxy,

25 dialkylamino,

-S(O)₀₋₂-alkyl,-S(O)₀₋₂-aryl,-NH-S(O)₂-alkyl,

-NH-S(O)₂-aryl,

haloalkoxy,

halogen,

cyano,

5 nitro,

aryl,

heteroaryl,

heterocyclyl,

aryloxy,

10 arylalkyleneoxy;

-C(O)-O-alkyl,

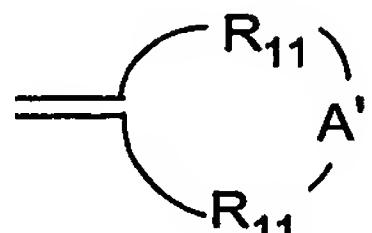
-C(O)-N(R₈)₂,

-N(R₈)-C(O)-alkyl,

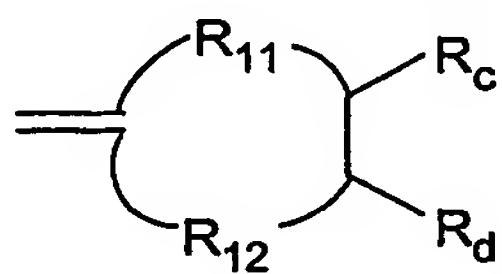
-O-(CO)-alkyl, and

15 -C(O)-alkyl;

or R₂ and R" can join together to form a ring system selected from the group consisting of:



wherein the total number of atoms in the ring is 4 to 9, and



wherein the total number of atoms in the ring is 4 to 9;

20 A' is selected from the group consisting of -O-, -S(O)₀₋₂-, -N(-Q-R₄)-, and -CH₂-;

Q is selected from the group consisting of a bond, -C(R₆)-, -C(R₆)-C(R₆)-, -S(O)₂-, -C(R₆)-N(R₈)-W-, -S(O)₂-N(R₈)-, -C(R₆)-O-, and -C(R₆)-N(OR₉)-;

W is selected from the group consisting of a bond, -C(O)-, and -S(O)₂-;

25 R_c and R_d are independently selected from the group consisting of hydrogen, halogen, hydroxy, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and -N(R₉)₂; or R_c and R_d can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four heteroatoms;

R₄ is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

R₆ is selected from the group consisting of =O and =S;

R₈ is selected from the group consisting of hydrogen, C₁₋₁₀ alkyl, C₂₋₁₀ alkenyl, C₁₋₁₀ alkoxy-C₁₋₁₀ alkylenyl, and aryl-C₁₋₁₀ alkylenyl;

R₉ is selected from the group consisting of hydrogen and alkyl;

R₁₁ is C₁₋₆ alkylene or C₂₋₆ alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R₁₂ is selected from the group consisting of a bond, C₁₋₅ alkylene, and C₂₋₅ alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R is selected from the group consisting of:

halogen,

hydroxy,

alkyl,

alkenyl,

haloalkyl,

alkoxy,

alkylthio, and

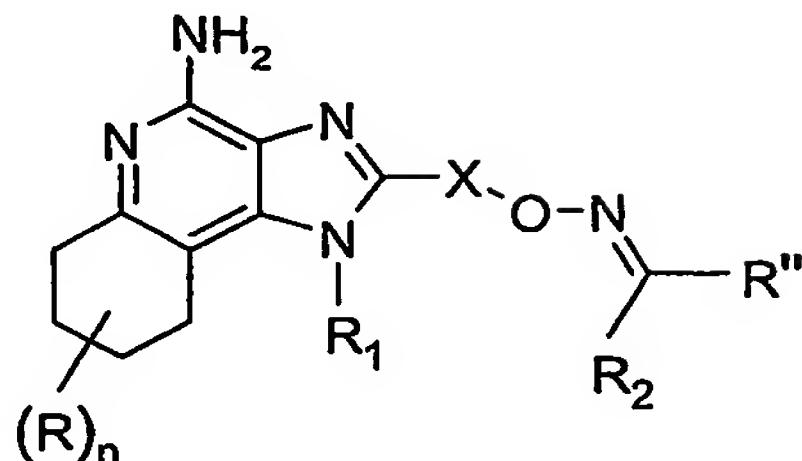
-N(R₉)₂;

n is an integer from 0 to 4; and

R' is hydrogen or a non-interfering substituent;

or a pharmaceutically acceptable salt thereof.

7. A compound of the Formula IVa:



5

wherein:

X is C₁₋₁₀ alkylene or C₂₋₁₀ alkenylene;

R is selected from the group consisting of:

halogen,

10

hydroxy,

alkyl,

alkenyl,

haloalkyl,

alkoxy,

15

alkylthio, and

-N(R₉)₂;

n is an integer from 0 to 4;

R₁ is selected from the group consisting of:

-R₄,

20

-X'-R₄,

-X'-Y-R₄,

-X'-Y-X'-Y-R₄,

-X'-R₅,

-X"-O-NR_{1a}-Y'-R_{1b}, and

25

-X"-O-N=C(R₁')(R₁"');

R₂, R'', R_{1a}, R_{1b}, R₁', and R₁'' are independently selected from the group consisting

of:

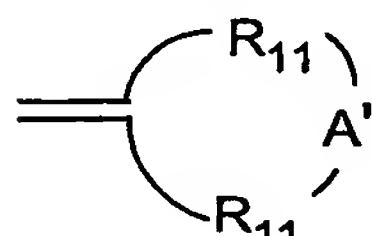
hydrogen,

alkyl,
alkenyl,
aryl,
arylalkylenyl,
5 heteroaryl,
heteroarylalkylenyl,
heterocyclyl,
heterocyclylalkylenyl, and
alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,
10 heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents selected
from the group consisting of:
hydroxy,
alkyl,
haloalkyl,
15 hydroxyalkyl,
alkoxy,
amino,
dialkylamino,
-S(O)₀₋₂-alkyl,
-S(O)₀₋₂-aryl,
20 -NH-S(O)₂-alkyl,
-NH-S(O)₂-aryl,
haloalkoxy,
halogen,
25 cyano,
nitro,
aryl,
heteroaryl,
heterocyclyl,
30 aryloxy,
arylalkyleneoxy,

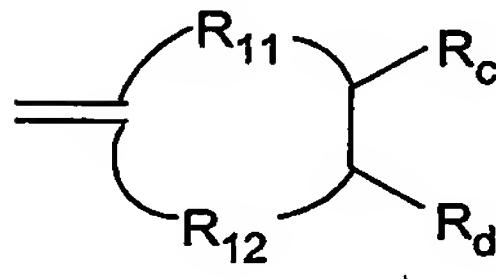
-C(O)-O-alkyl,
 -C(O)-N(R₈)₂,
 -N(R₈)-C(O)-alkyl,
 -O-(CO)-alkyl, and
 -C(O)-alkyl;

5

or R₂ and R" and/or R₁' and R₁" can join together to form a ring system selected from the group consisting of:



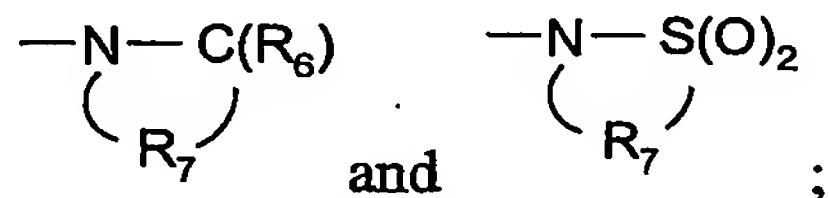
wherein the total number of atoms in the ring is 4 to 9, and



wherein the total number of atoms in the ring is 4 to 9;

10

or R_{1a} and R_{1b} together with the nitrogen atom and Y' to which they are bonded can join to form a ring selected from the group consisting of:



15

X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclene and optionally interrupted by one or more -O- groups;

X" is selected from the group consisting of -CH(R₁₃)-alkylene- and -CH(R₁₃)-alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

20

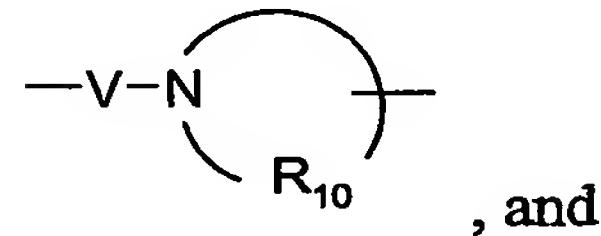
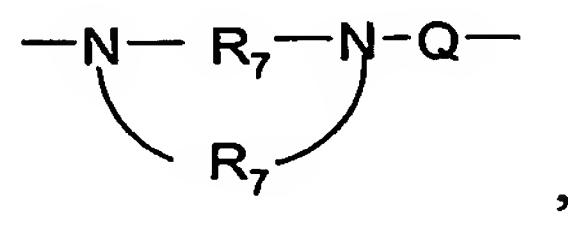
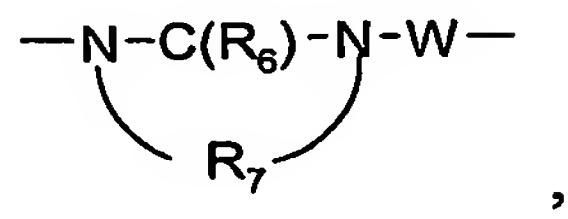
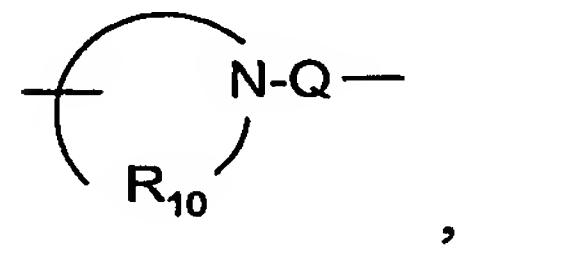
Y is selected from the group consisting of:

-S(O)₀₋₂₋,
 -S(O)₂-N(R₈)-,
 -C(R₆)-,
 -C(R₆)-O-,
 -O-C(R₆)-,
 -O-C(O)-O-,

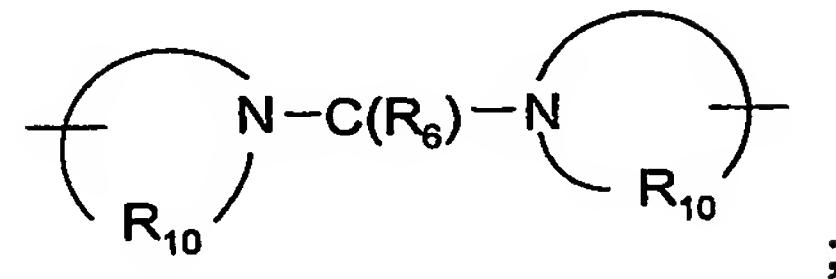
25

-N(R₈)-Q-,
 -C(R₆)-N(R₈)-,
 -O-C(R₆)-N(R₈)-,
 -C(R₆)-N(OR₉)-,

5



, and



;

10

Y' is selected from the group consisting of:

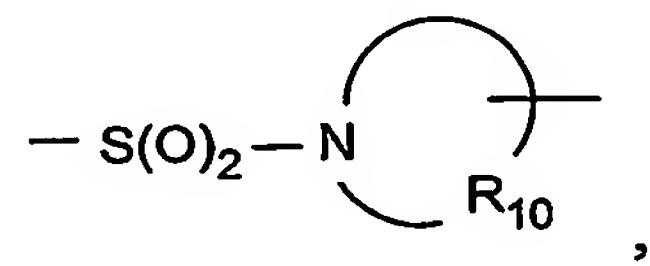
a bond,

-C(O)-,

-C(S)-,

-S(O)₂-,-S(O)₂-N(R₈)-,

15

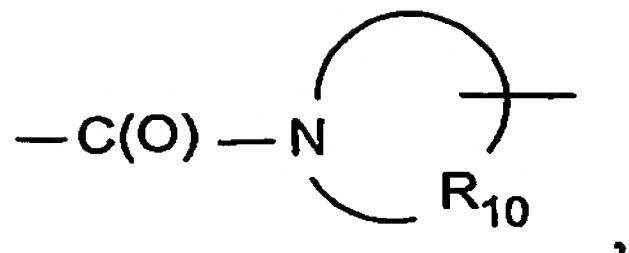


-C(O)-O-,

-C(O)-N(R₈)-,-C(S)-N(R₈)-,

20

-C(O)-N(R₈)-S(O)₂-,-C(O)-N(R₈)-C(O)-,-C(S)-N(R₈)-C(O)-,

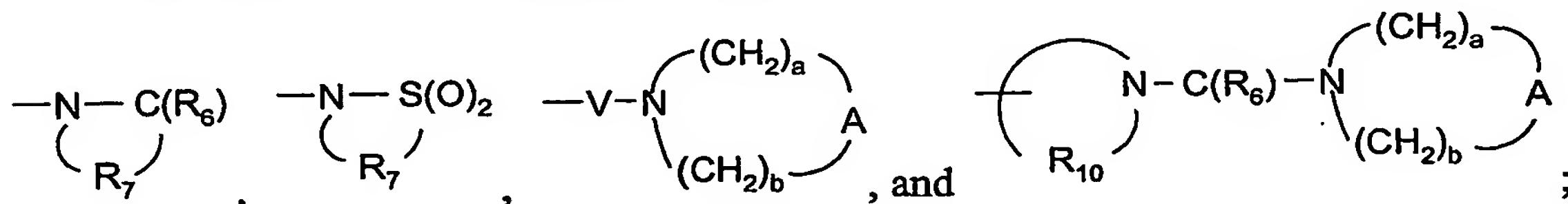


$-C(O)-C(O)-$,
 $-C(O)-C(O)-O-$, and
 $-C(=NH)-N(R_8)-$;

5 R_c and R_d are independently selected from the group consisting of hydrogen, halogen, hydroxy, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and $-N(R_9)_2$; or R_c and R_d can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four heteroatoms;

10 R_4 is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group 15 consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

20 R_5 is selected from the group consisting of:



R_6 is selected from the group consisting of $=O$ and $=S$;

R_7 is C_{2-7} alkylene;

25 R_8 is selected from the group consisting of hydrogen, C_{1-10} alkyl, C_{2-10} alkenyl, C_{1-10} alkoxy- C_{1-10} alkylene, and aryl- C_{1-10} alkylene;

R_9 is selected from the group consisting of hydrogen and alkyl;

R_{10} is C_{3-8} alkylene;

R₁₁ is C₁₋₆ alkylene or C₂₋₆ alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R₁₂ is selected from the group consisting of a bond, C₁₋₅ alkylene, and C₂₋₅ alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R₁₃ is selected from the group consisting of hydrogen and alkyl which may be optionally interrupted by one or more -O- groups;

A is selected from the group consisting of -CH₂-, -O-, -C(O)-, -S(O)₀₋₂-, and -N(R₄)-;

A' is selected from the group consisting of -O-, -S(O)₀₋₂-, -N(-Q-R₄)-, and -CH₂-;

Q is selected from the group consisting of a bond, -C(R₆)-, -C(R₆)-C(R₆)-, -S(O)₂-, -C(R₆)-N(R₈)-W-, -S(O)₂-N(R₈)-, -C(R₆)-O-, and -C(R₆)-N(OR₉)-;

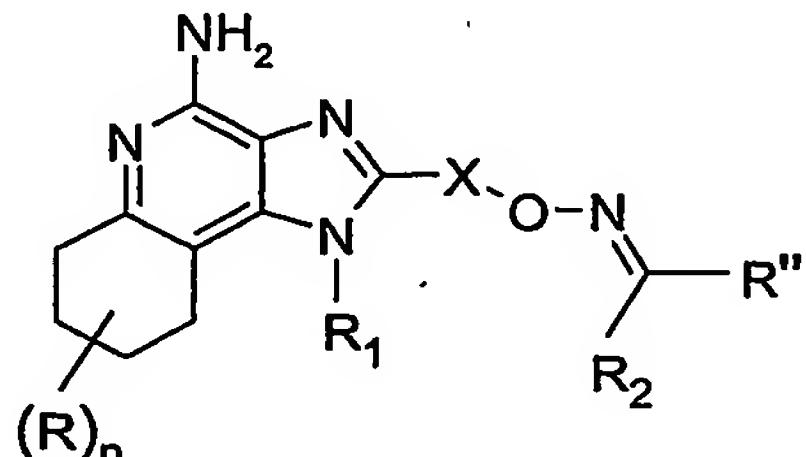
V is selected from the group consisting of -C(R₆)-, -O-C(R₆)-, -N(R₈)-C(R₆)-, and -S(O)₂-;

W is selected from the group consisting of a bond, -C(O)-, and -S(O)₂-; and

a and b are independently integers from 1 to 6 with the proviso that a + b is \leq 7; or a pharmaceutically acceptable salt thereof.

8. A compound of the Formula IVa:

20



IVa

wherein:

X is C₁₋₁₀ alkylene or C₂₋₁₀ alkenylene;

25 R is selected from the group consisting of:

halogen,

hydroxy,

alkyl,

alkenyl,
haloalkyl,
alkoxy,
alkylthio, and
5 -N(R₉)₂;

n is an integer from 0 to 4;

R₁ is selected from the group consisting of:

-R₄,
-X'-R₄,
10 -X'-Y-R₄,
-X'-Y-X'-Y-R₄,
-X'-R₅,
-X"-O-NH-Y'-R₁', and
-X"-O-N=C(R₁')(R₁")

15 R₂, R", R₁', and R₁" are independently selected from the group consisting of:

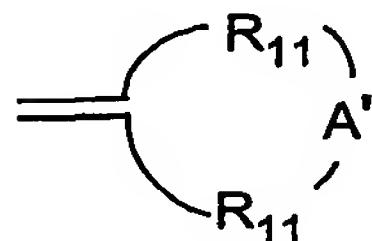
hydrogen,
alkyl,
alkenyl,
aryl,
20 arylalkylenyl,
heteroaryl,
heteroarylalkylenyl,
heterocyclyl,
heterocyclylalkylenyl, and

25 alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,
heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents selected
from the group consisting of:

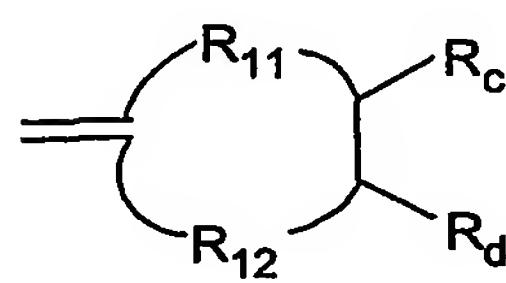
hydroxy,
alkyl,
30 haloalkyl,
hydroxyalkyl,

alkoxy,
 dialkylamino,
 -S(O)₀₋₂-alkyl,
 -S(O)₀₋₂-aryl,
 5 -NH-S(O)₂-alkyl,
 -NH-S(O)₂-aryl,
 haloalkoxy,
 halogen,
 cyano,
 10 nitro,
 aryl,
 heteroaryl,
 heterocyclyl,
 aryloxy,
 15 arylalkyleneoxy,
 -C(O)-O-alkyl,
 -C(O)-N(R₈)₂,
 -N(R₈)-C(O)-alkyl,
 -O-(CO)-alkyl, and
 20 -C(O)-alkyl;

or R₂ and R" and/or R₁' and R₁" can join together to form a ring system selected from the group consisting of:



wherein the total number of atoms in the ring is 4 to 9, and



wherein the total number of atoms in the ring is 4 to 9;

25 X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclene wherein the alkylene, alkenylene, and

alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

X" is -CH(R₁₃)-alkylene- or -CH(R₁₃)-alkenylene-;

Y is selected from the group consisting of:

5 -S(O)₀₋₂-,

-S(O)₂-N(R₈)-,

-C(R₆)-,

-C(R₆)-O-,

-O-C(R₆)-,

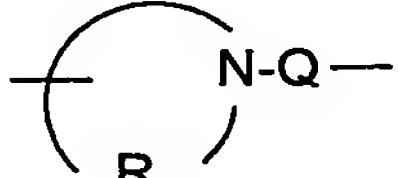
10 -O-C(O)-O-,

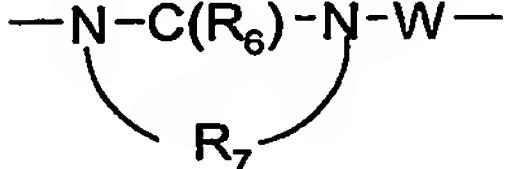
-N(R₈)-Q-,

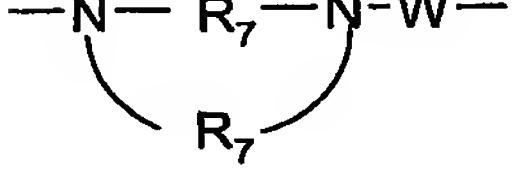
-C(R₆)-N(R₈)-,

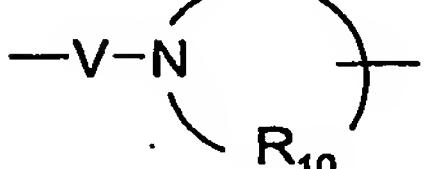
-O-C(R₆)-N(R₈)-,

-C(R₆)-N(OR₉)-,

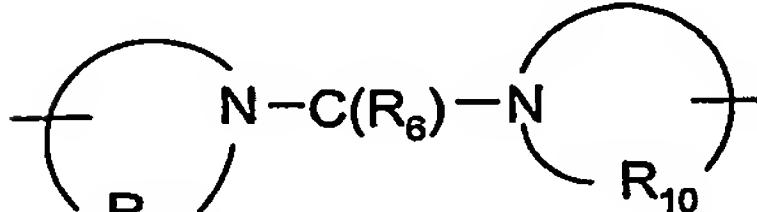
15 







, and



20 Y' is selected from the group consisting of:

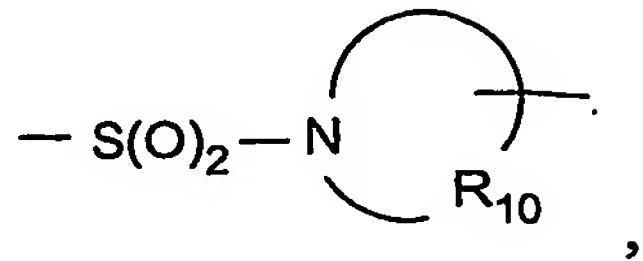
a bond,

-C(O)-,

-C(S)-,

-S(O)₂-,

-S(O)₂-N(R₈)-,



-C(O)-O-,

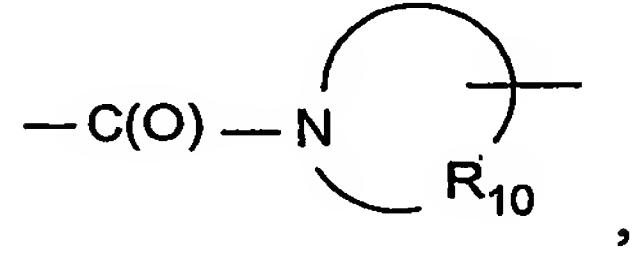
-C(O)-N(R₈)-,

5 -C(S)-N(R₈)-,

-C(O)-N(R₈)-S(O)₂-,

-C(O)-N(R₈)-C(O)-,

-C(S)-N(R₈)-C(O)-,



10 -C(O)-C(O)-,

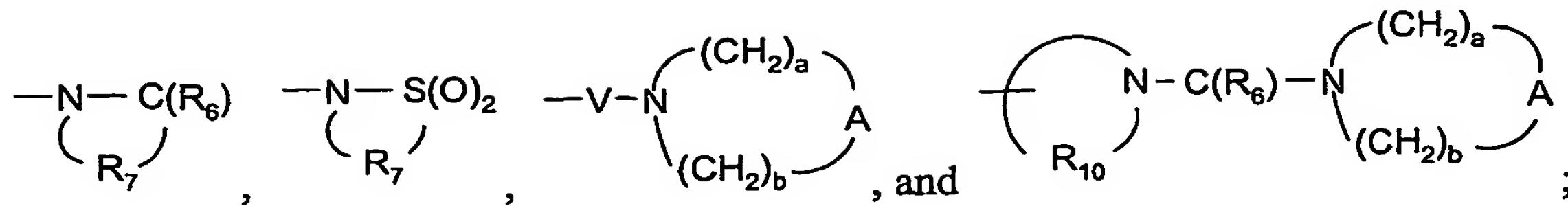
-C(O)-C(O)-O-, and

-C(=NH)-N(R₈)-;

R_c and R_d are independently selected from the group consisting of hydrogen, halogen, hydroxy, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and -N(R₉)₂; or R_c and R_d can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing 15 one to four heteroatoms;

R₄ is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, 20 alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, 25 (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

R₅ is selected from the group consisting of:



R₆ is selected from the group consisting of =O and =S;

R₇ is C₂₋₇ alkylene;

R₈ is selected from the group consisting of hydrogen, C₁₋₁₀ alkyl, C₂₋₁₀ alkenyl,

5 C₁₋₁₀ alkoxy-C₁₋₁₀ alkylene, and aryl-C₁₋₁₀ alkylene;

R₉ is selected from the group consisting of hydrogen and alkyl;

R₁₀ is C₃₋₈ alkylene;

R₁₁ is C₁₋₆ alkylene or C₂₋₆ alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

10 R₁₂ is selected from the group consisting of a bond, C₁₋₅ alkylene, and

C₂₋₅ alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R₁₃ is selected from the group consisting of hydrogen and alkyl which may be optionally interrupted by one or more -O- groups;

15 A is selected from the group consisting of -CH₂-, -O-, -C(O)-, -S(O)₀₋₂-, and -N(R₄)-;

A' is selected from the group consisting of -O-, -S(O)₀₋₂-, -N(-Q-R₄)-, and -CH₂-,

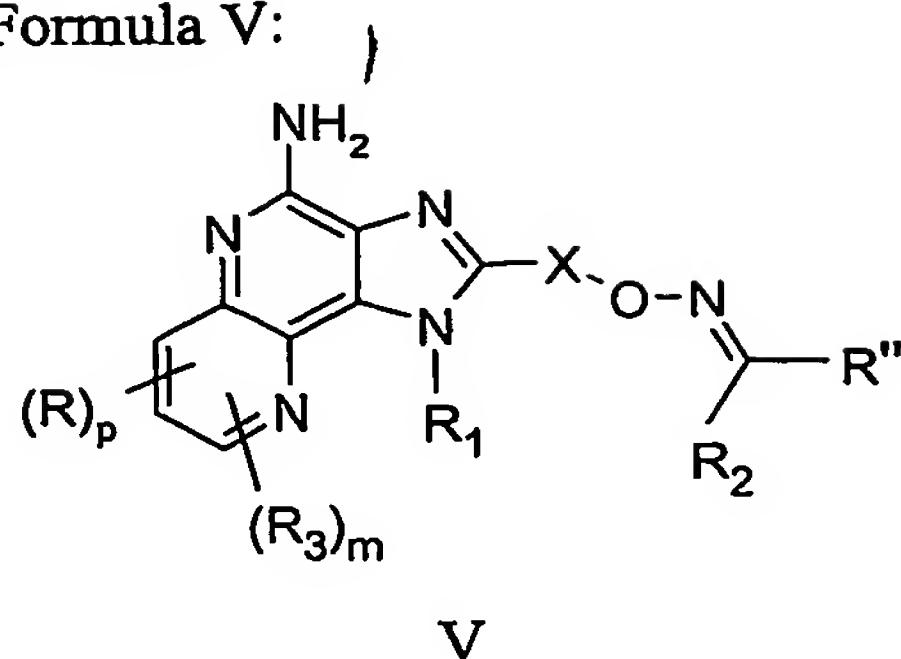
Q is selected from the group consisting of a bond, -C(R₆)-, -C(R₆)-C(R₆)-, -S(O)₂-, -C(R₆)-N(R₈)-W-, -S(O)₂-N(R₈)-, -C(R₆)-O-, and -C(R₆)-N(OR₉)-;

20 V is selected from the group consisting of -C(R₆)-, -O-C(R₆)-, -N(R₈)-C(R₆)-, and -S(O)₂-,

W is selected from the group consisting of a bond, -C(O)-, and -S(O)₂-; and

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7 ; or a pharmaceutically acceptable salt thereof.

9. A compound of the Formula V:



wherein:

5 X is C₁₋₁₀ alkylene or C₂₋₁₀ alkenylene;

R is selected from the group consisting of:

halogen,

hydroxy,

alkyl,

10 alkenyl,

haloalkyl,

alkoxy,

alkylthio, and

-N(R₉)₂;

15 R₁ is selected from the group consisting of:

-R₄,

-X'-R₄,

-X'-Y-R₄,

-X'-Y-X'-Y-R₄,

20 -X'-R₅,

-X"-O-NR_{1a}-Y'-R_{1b}, and

-X"-O-N=C(R₁')(R₁"');

R₂, R'', R_{1a}, R_{1b}, R₁', and R₁'' are independently selected from the group consisting

of:

25 hydrogen,

alkyl,

alkenyl,

aryl,

arylalkylenyl,
heteroaryl,
heteroarylalkylenyl,
heterocyclyl,
5 heterocyclylalkylenyl, and

alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,
heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents selected
from the group consisting of:

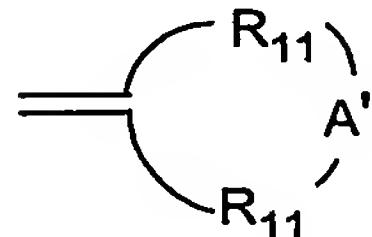
hydroxy,
10 alkyl,
haloalkyl,
hydroxyalkyl,
alkoxy,
amino,
15 dialkylamino,
-S(O)₀₋₂-alkyl,
-S(O)₀₋₂-aryl,
-NH-S(O)₂-alkyl,
-NH-S(O)₂-aryl,
20 haloalkoxy,
halogen,
cyano,
nitro,
aryl,
25 heteroaryl,
heterocyclyl,
aryloxy,
arylalkyleneoxy,
-C(O)-O-alkyl,
30 -C(O)-N(R₈)₂,
-N(R₈)-C(O)-alkyl,

-O-(CO)-alkyl, and

-C(O)-alkyl;

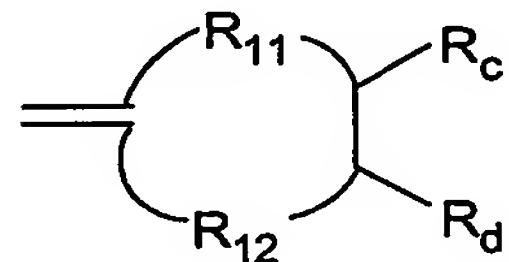
or R₂ and R" and/or R₁' and R₁" can join together to form a ring system

selected from the group consisting of:



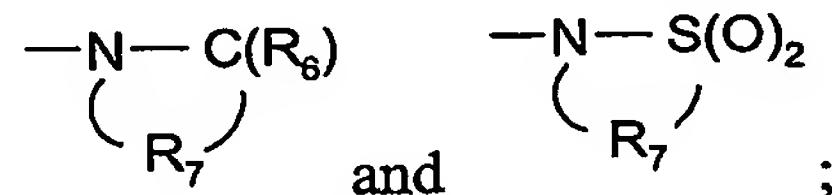
5

wherein the total number of atoms in the ring is 4 to 9, and



wherein the total number of atoms in the ring is 4 to 9;

or R_{1a} and R_{1b} together with the nitrogen atom and Y' to which they are bonded can join to form a ring selected from the group consisting of:



10

R₃ is selected from the group consisting of:

-Z-R₄,

-Z-X'-R₄,

-Z-X'-Y-R₄,

-Z-X'-Y-X'-Y-R₄, and

-Z-X'-R₅;

15

p is an integer from 0 to 3;

m is 0 or 1, with the proviso that when m is 1, p is 0 or 1;

X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

X" is selected from the group consisting of -CH(R₁₃)-alkylene- and -CH(R₁₃)-alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

25

Y is selected from the group consisting of:

-S(O)₀₋₂₋,

-S(O)₂-N(R₈)-,

-C(R₆)-,

-C(R₆)-O-,

-O-C(R₆)-,

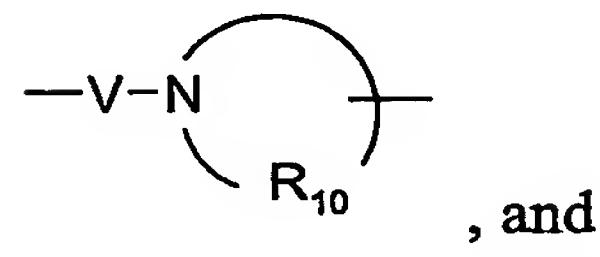
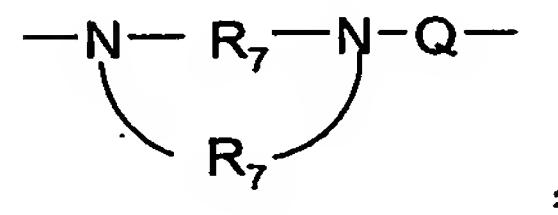
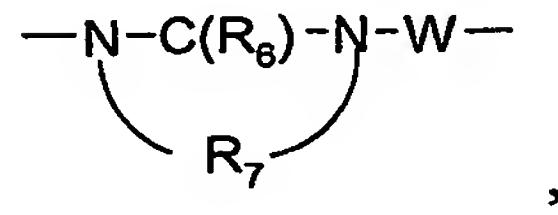
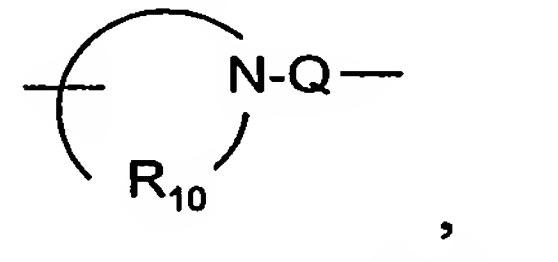
5 -O-C(O)-O-,

-N(R₈)-Q-,

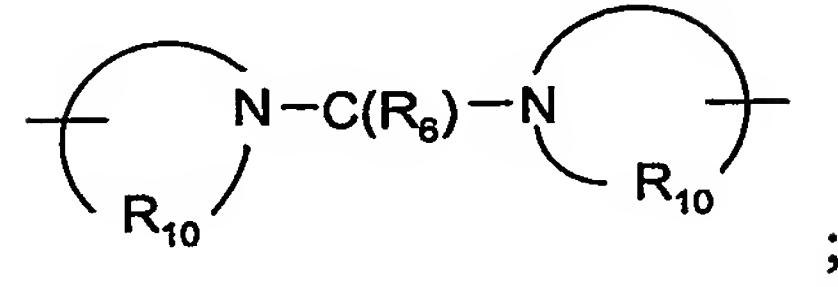
-C(R₆)-N(R₈)-,

-O-C(R₆)-N(R₈)-,

-C(R₆)-N(OR₉)-,



, and



;

15

Y' is selected from the group consisting of:

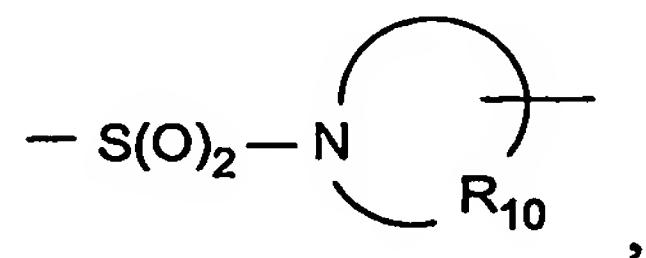
a bond,

-C(O)-,

-C(S)-,

-S(O)₂-,

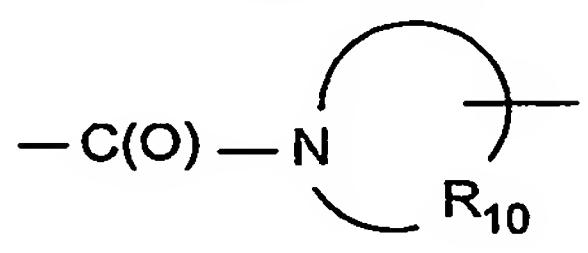
20 -S(O)₂-N(R₈)-,



-C(O)-O-,

$-\text{C}(\text{O})-\text{N}(\text{R}_8)-$,
 $-\text{C}(\text{S})-\text{N}(\text{R}_8)-$,
 $-\text{C}(\text{O})-\text{N}(\text{R}_8)-\text{S}(\text{O})_2-$,
 $-\text{C}(\text{O})-\text{N}(\text{R}_8)-\text{C}(\text{O})-$,
 $-\text{C}(\text{S})-\text{N}(\text{R}_8)-\text{C}(\text{O})-$,

5

$-\text{C}(\text{O})-\text{N}(\text{R}_{10})-$,


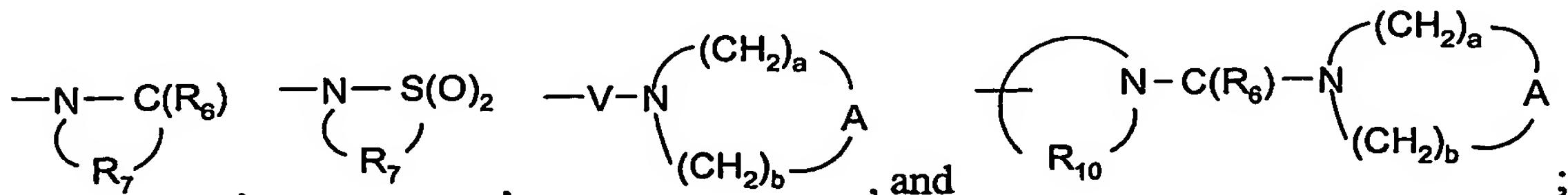
$-\text{C}(\text{O})-\text{C}(\text{O})-$,
 $-\text{C}(\text{O})-\text{C}(\text{O})-\text{O}-$, and
 $-\text{C}(=\text{NH})-\text{N}(\text{R}_8)-$;

10 Z is a bond or $-\text{O}-$;

R_c and R_d are independently selected from the group consisting of hydrogen, halogen, hydroxy, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and $-\text{N}(\text{R}_9)_2$; or R_c and R_d can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four heteroatoms;

15 R_4 is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group 20 consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, 25 oxo;

R_5 is selected from the group consisting of:



R_6 is selected from the group consisting of $=\text{O}$ and $=\text{S}$;

R₇ is C₂₋₇ alkylene;

R₈ is selected from the group consisting of hydrogen, C₁₋₁₀ alkyl, C₂₋₁₀ alkenyl, C₁₋₁₀ alkoxy-C₁₋₁₀ alkylene, and aryl-C₁₋₁₀ alkylene;

R₉ is selected from the group consisting of hydrogen and alkyl;

5 R₁₀ is C₃₋₈ alkylene;

R₁₁ is C₁₋₆ alkylene or C₂₋₆ alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

10 R₁₂ is selected from the group consisting of a bond, C₁₋₅ alkylene, and C₂₋₅ alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R₁₃ is selected from the group consisting of hydrogen and alkyl which may be optionally interrupted by one or more -O- groups;

A is selected from the group consisting of -CH₂-, -O-, -C(O)-, -S(O)₀₋₂-, and -N(R₄)-;

15 A' is selected from the group consisting of -O-, -S(O)₀₋₂-, -N(-Q-R₄)-, and -CH₂-,

Q is selected from the group consisting of a bond, -C(R₆)-, -C(R₆)-C(R₆)-, -S(O)₂-, -C(R₆)-N(R₈)-W-, -S(O)₂-N(R₈)-, -C(R₆)-O-, and -C(R₆)-N(OR₉)-;

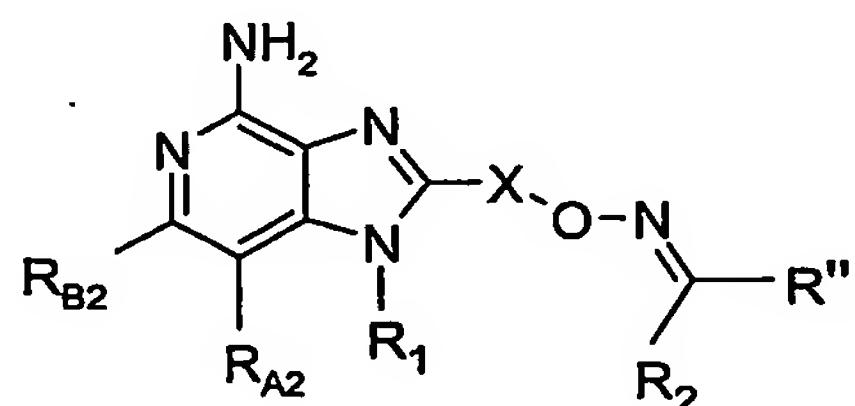
V is selected from the group consisting of -C(R₆)-, -O-C(R₆)-, -N(R₈)-C(R₆)-, and -S(O)₂-;

20 W is selected from the group consisting of a bond, -C(O)-, and -S(O)₂-, and

a and b are independently integers from 1 to 6 with the proviso that a + b is \leq 7; or a pharmaceutically acceptable salt thereof.

10. A compound of the Formula VI:

25

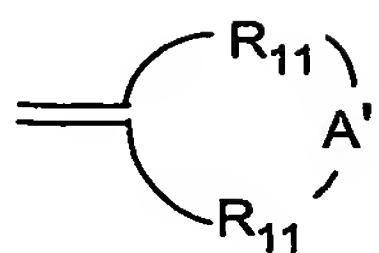


VI

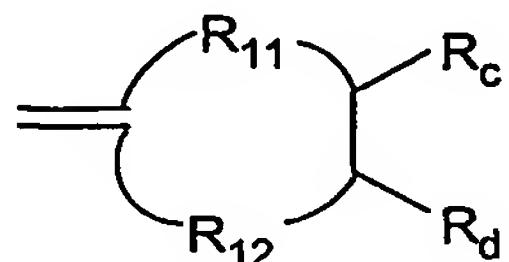
wherein:

hydroxy,
alkyl,
haloalkyl,
hydroxyalkyl,
5 alkoxy,
amino,
dialkylamino,
-S(O)₀₋₂-alkyl,
-S(O)₀₋₂-aryl,
10 -NH-S(O)₂-alkyl,
-NH-S(O)₂-aryl,
haloalkoxy,
halogen,
cyano,
15 nitro,
aryl,
heteroaryl,
heterocyclyl,
aryloxy,
20 arylalkyleneoxy,
-C(O)-O-alkyl,
-C(O)-N(R₈)₂,
-N(R₈)-C(O)-alkyl,
-O-(CO)-alkyl, and
25 -C(O)-alkyl;

or R₂ and R" and/or R₁' and R₁" can join together to form a ring system selected from the group consisting of:

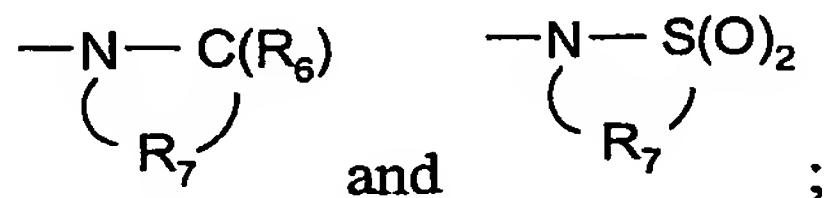


wherein the total number of atoms in the ring is 4 to 9, and



wherein the total number of atoms in the ring is 4 to 9;

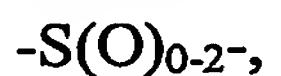
or R_{1a} and R_{1b} together with the nitrogen atom and Y' to which they are bonded can join to form a ring selected from the group consisting of:



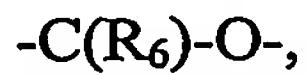
X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

10 X" is selected from the group consisting of $-\text{CH}(\text{R}_{13})$ -alkylene- and $-\text{CH}(\text{R}_{13})$ -alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

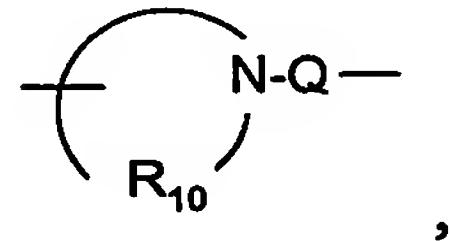
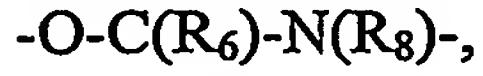
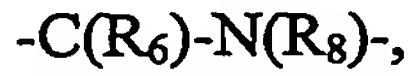
Y is selected from the group consisting of:

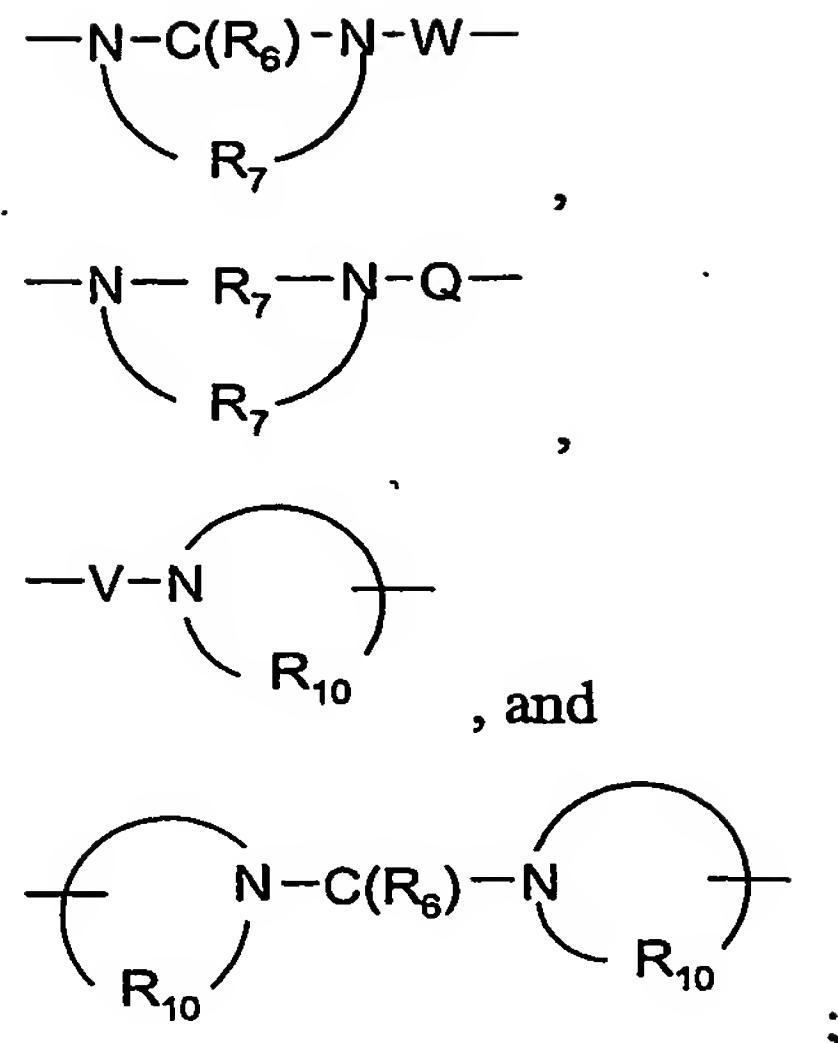


15 -S(O)₂-N(R₈)-,



20 -N(R₈)-Q-,





5 Y' is selected from the group consisting of:

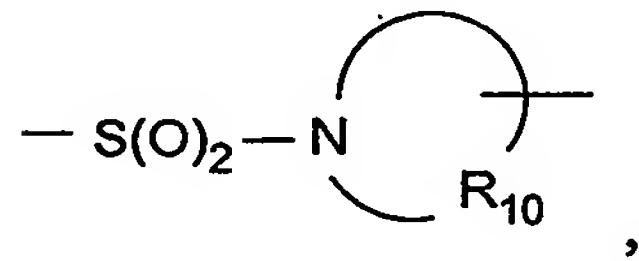
a bond,

-C(O)-,

-C(S)-,

-S(O)₂-,

10 -S(O)₂-N(R₈)-,



-C(O)-O-,

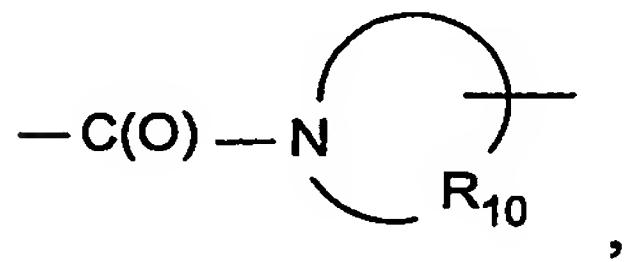
-C(O)-N(R₈)-,

-C(S)-N(R₈)-,

15 -C(O)-N(R₈)-S(O)₂-,

-C(O)-N(R₈)-C(O)-,

-C(S)-N(R₈)-C(O)-,



-C(O)-C(O)-,

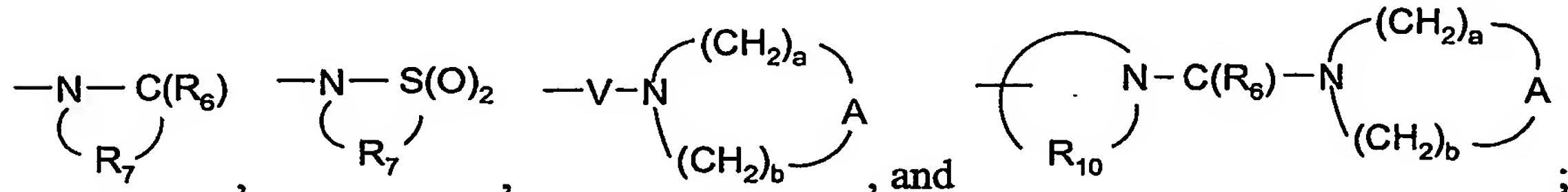
20 -C(O)-C(O)-O-, and

-C(=NH)-N(R₈)-;

R_c and R_d are independently selected from the group consisting of hydrogen, halogen, hydroxy, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and -N(R₉)₂; or R_c and R_d can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four heteroatoms;

5 R₄ is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group 10 consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, 15 oxo;

R₅ is selected from the group consisting of:



R₆ is selected from the group consisting of =O and =S;

R₇ is C₂₋₇ alkylene;

20 R₈ is selected from the group consisting of hydrogen, C₁₋₁₀ alkyl, C₂₋₁₀ alkenyl, C₁₋₁₀ alkoxy-C₁₋₁₀ alkylene, and aryl-C₁₋₁₀ alkylene;

R₉ is selected from the group consisting of hydrogen and alkyl;

R₁₀ is C₃₋₈ alkylene;

25 R₁₁ is C₁₋₆ alkylene or C₂₋₆ alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R₁₂ is selected from the group consisting of a bond, C₁₋₅ alkylene, and C₂₋₅ alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R_{13} is selected from the group consisting of hydrogen and alkyl which may be optionally interrupted by one or more -O- groups;

5 A is selected from the group consisting of -CH₂-, -O-, -C(O)-, -S(O)₀₋₂-, and -N(R₄)-;

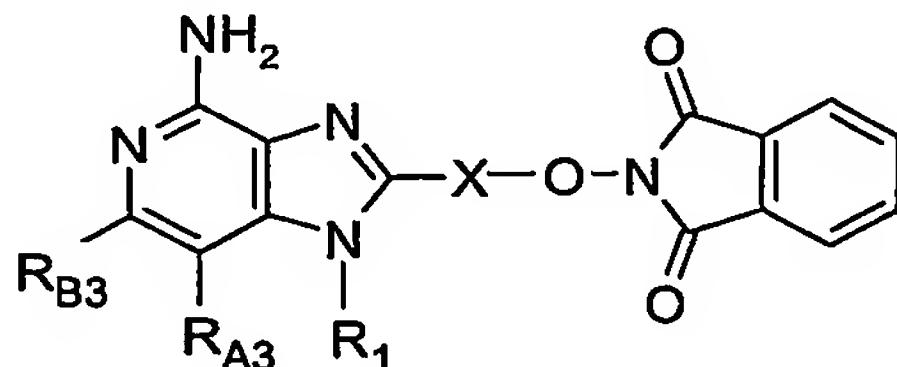
 A' is selected from the group consisting of -O-, -S(O)₀₋₂-, -N(-Q-R₄)-, and -CH₂-;

 Q is selected from the group consisting of a bond, -C(R₆)-, -C(R₆)-C(R₆)-, -S(O)₂-, -C(R₆)-N(R₈)-W-, -S(O)₂-N(R₈)-, -C(R₆)-O-, and -C(R₆)-N(OR₉)-;

 V is selected from the group consisting of -C(R₆)-, -O-C(R₆)-, -N(R₈)-C(R₆)-, and -S(O)₂-;

10 W is selected from the group consisting of a bond, -C(O)-, and -S(O)₂-; and
 a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7 ;
or a pharmaceutically acceptable salt thereof.

15 11. A compound of the Formula VII:



VII

wherein:

 X is C₁₋₁₀ alkylene or C₂₋₁₀ alkenylene;

20 R_{A3} and R_{B3}, when taken together, form a fused aryl ring or heteroaryl ring containing one heteroatom selected from the group consisting of N and S, wherein the aryl or heteroaryl ring is unsubstituted or substituted by one or more R groups, or substituted by one R₃ group, or substituted by one R₃ group and one R group;

25 or when taken together, R_{A3} and R_{B3} form a fused 5 to 7 membered saturated ring, optionally containing one heteroatom selected from the group consisting of N and S, and unsubstituted or substituted by one or more R groups;

 R is selected from the group consisting of:

 halogen,

hydroxy,

alkyl,

alkenyl,

haloalkyl,

5 alkoxy,

alkylthio, and

-N(R₉)₂;

R₁ is selected from the group consisting of:

-R₄,

10 -X'-R₄,

-X'-Y-R₄,

-X'-Y-X'-Y-R₄,

-X'-R₅,

-X"-O-NR_{1a}-Y'-R_{1b}, and

15 -X"-O-N=C(R₁')(R₁")

R_{1a}, R_{1b}, R₁', and R₁" are independently selected from the group consisting of:

hydrogen,

alkyl,

alkenyl,

20 aryl,

arylalkylenyl,

heteroaryl,

heteroarylalkylenyl,

heterocyclyl,

25 heterocyclalkylenyl, and

alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,

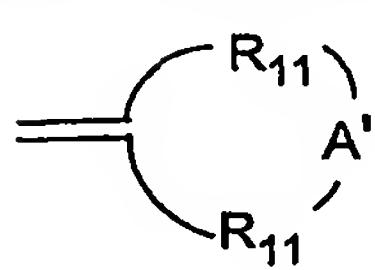
heterocyclyl, or heterocyclalkylenyl, substituted by one or more substituents selected from the group consisting of:

hydroxy,

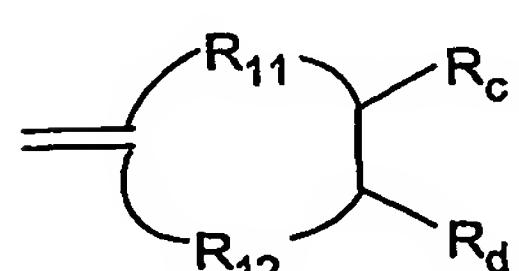
30 alkyl,

haloalkyl,

hydroxyalkyl,
 alkoxy,
 amino,
 dialkylamino,
 5 -S(O)₀₋₂-alkyl,
 -S(O)₀₋₂-aryl,
 -NH-S(O)₂-alkyl,
 -NH-S(O)₂-aryl,
 haloalkoxy,
 10 halogen,
 cyano,
 nitro,
 aryl,
 heteroaryl,
 15 heterocyclyl,
 aryloxy,
 arylalkyleneoxy,
 -C(O)-O-alkyl,
 -C(O)-N(R₈)₂,
 20 -N(R₈)-C(O)-alkyl,
 -O-(CO)-alkyl, and
 -C(O)-alkyl;
 or R₁' and R₁'' can join together to form a ring system selected from the
 group consisting of:

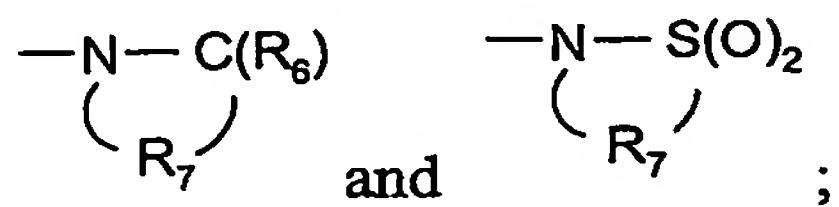


25 wherein the total number of atoms in the ring is 4 to 9, and



wherein the total number of atoms in the ring is 4 to 9;

or R_{1a} and R_{1b} together with the nitrogen atom and Y' to which they are bonded can join to form a ring selected from the group consisting of:



R_3 is selected from the group consisting of:

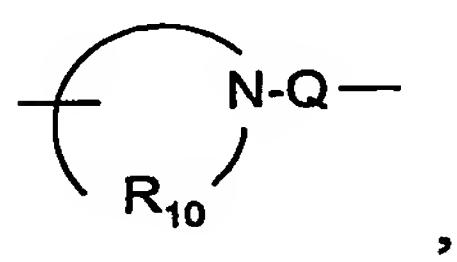
5 -Z-R₄,
 -Z-X'-R₄,
 -Z-X'-Y-R₄,
 -Z-X'-Y-X'-Y-R₄, and
 -Z-X'-R₅;

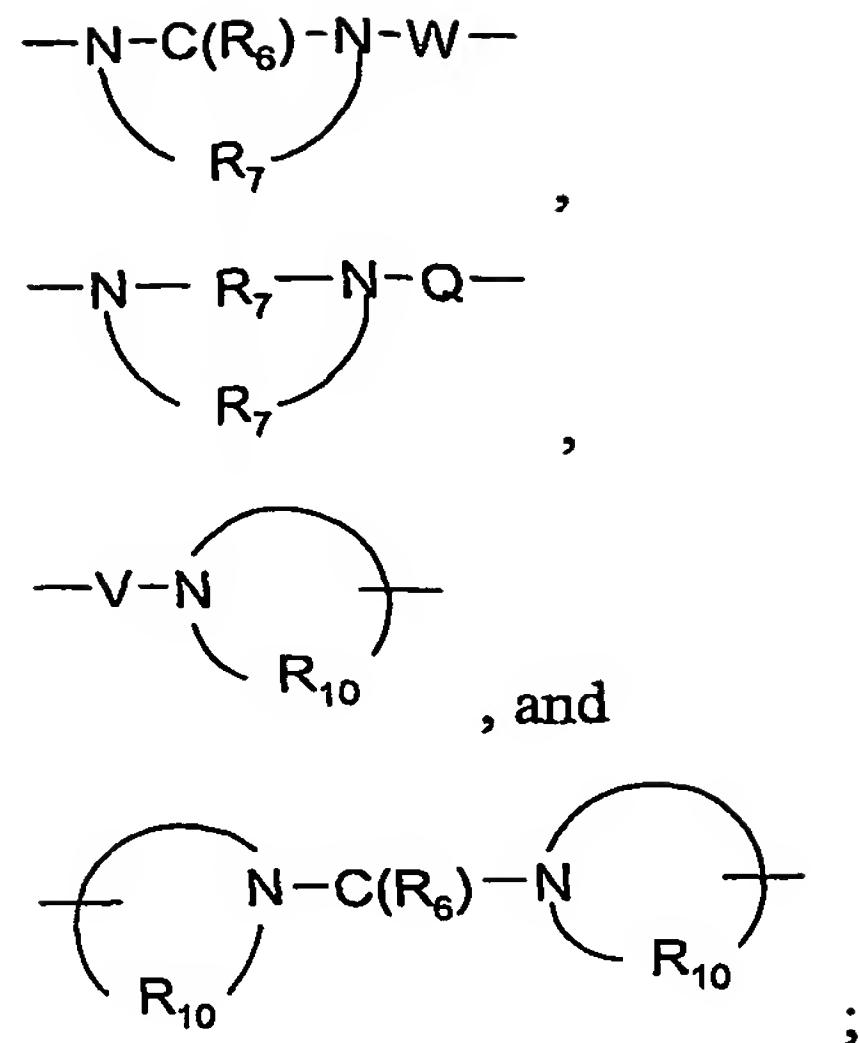
10 X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclene and optionally interrupted by one or more -O- groups;

15 X'' is selected from the group consisting of -CH(R₁₃)-alkylene- and -CH(R₁₃)-alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

Y is selected from the group consisting of:

20 -S(O)₀₋₂₋,
 -S(O)₂-N(R₈)-,
 -C(R₆)-,
 -C(R₆)-O-,
 -O-C(R₆)-,
 -O-C(O)-O-,
 -N(R₈)-Q-,
 -C(R₆)-N(R₈)-,
 -O-C(R₆)-N(R₈)-,
 -C(R₆)-N(OR₉)-,





5 Y' is selected from the group consisting of:

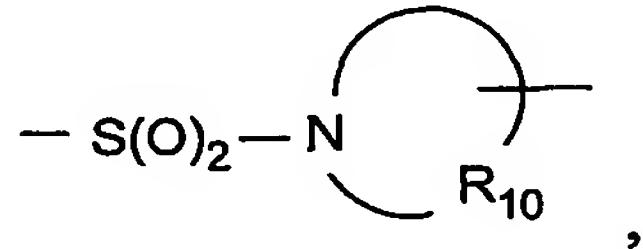
a bond,

-C(O)-,

-C(S)-,

-S(O)₂-,

10 -S(O)₂-N(R₈)-,



-C(O)-O-,

-C(O)-N(R₈)-,

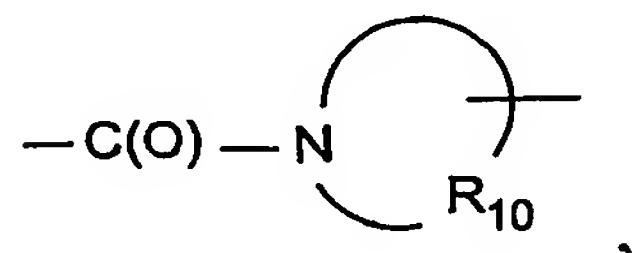
-C(S)-N(R₈)-,

15 -C(O)-N(R₈)-S(O)₂⁻,

$$-\text{C}(\text{O})-\text{N}(\text{R}_8)-\text{C}(\text{O})-,$$

$$-\text{C}(\text{S})-\text{N}(\text{R}_8)-\text{C}(\text{O})-,$$

1. *Leucosia* (Leucosia) *leucosia* (L.)



-C(O)-C(O)-,

20 -C(O)-C(O)-O-, and

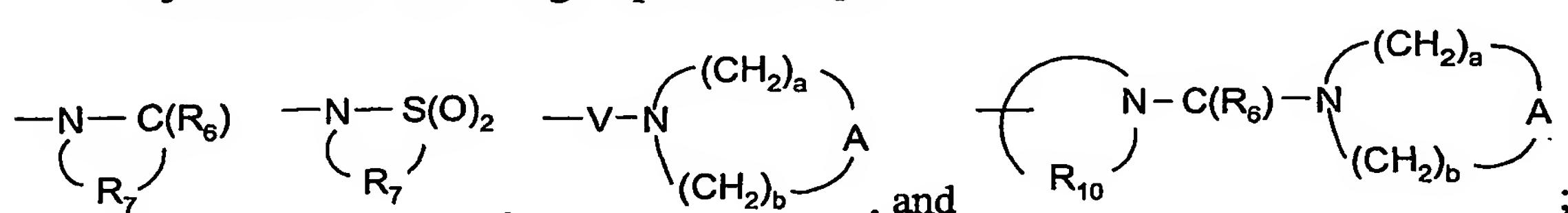
$$-\text{C}(=\text{NH})-\text{N}(\text{R}_8)-;$$

Z is a bond or -O-;

R_c and R_d are independently selected from the group consisting of hydrogen, halogen, hydroxy, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and $-N(R_9)_2$; or R_c and R_d can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four heteroatoms;

5 R_4 is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, 10 oxo;

10 R_5 is selected from the group consisting of:



15 R_6 is selected from the group consisting of $=O$ and $=S$;

15 R_7 is C_{2-7} alkylene;

20 R_8 is selected from the group consisting of hydrogen, C_{1-10} alkyl, C_{2-10} alkenyl, C_{1-10} alkoxy- C_{1-10} alkylene, and aryl- C_{1-10} alkylene;

20 R_9 is selected from the group consisting of hydrogen and alkyl;

20 R_{10} is C_{3-8} alkylene;

25 R_{11} is C_{1-6} alkylene or C_{2-6} alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

25 R_{12} is selected from the group consisting of a bond, C_{1-5} alkylene, and C_{2-5} alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R_{13} is selected from the group consisting of hydrogen and alkyl which may be optionally interrupted by one or more $-O-$ groups;

A is selected from the group consisting of $-CH_2-$, $-O-$, $-C(O)-$, $-S(O)_{0-2}-$, and $-N(R_4)-$;

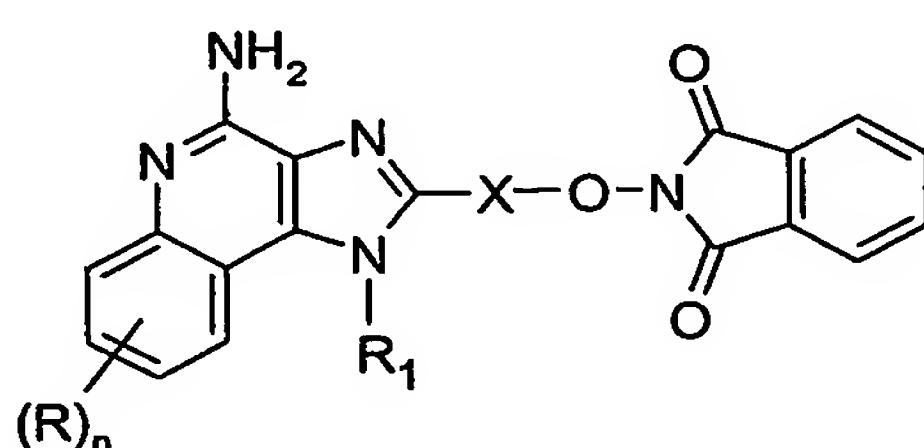
5 A' is selected from the group consisting of $-O-$, $-S(O)_{0-2}-$, $-N(-Q-R_4)-$, and $-CH_2-$;

Q is selected from the group consisting of a bond, $-C(R_6)-$, $-C(R_6)-C(R_6)-$, $-S(O)_2-$, $-C(R_6)-N(R_8)-W-$, $-S(O)_2-N(R_8)-$, $-C(R_6)-O-$, and $-C(R_6)-N(OR_9)-$;

V is selected from the group consisting of $-C(R_6)-$, $-O-C(R_6)-$, $-N(R_8)-C(R_6)-$, and $-S(O)_2-$;

10 W is selected from the group consisting of a bond, $-C(O)-$, and $-S(O)_2-$; and
a and b are independently integers from 1 to 6 with the proviso that $a + b$ is ≤ 7 ;
or a pharmaceutically acceptable salt thereof.

12. A compound of the Formula VIIa:



VIIa

wherein:

X is C_{1-10} alkylene or C_{2-10} alkenylene;

R is selected from the group consisting of:

20 halogen,

hydroxy,

alkyl,

alkenyl,

haloalkyl,

alkoxy,

alkylthio, and

$-N(R_9)_2$;

25

R_1 is selected from the group consisting of:

-R₄,
-X'-R₄,
-X'-Y-R₄,
-X'-Y-X'-Y-R₄,
5 -X'-R₅,
-X"-O-NH-Y'-R₁', and
-X"-O-N=C(R₁')(R₁") ;

R₁' and R₁" are independently selected from the group consisting of:

hydrogen,
10 alkyl,
alkenyl,
aryl,
arylalkylenyl,
heteroaryl,

15 heteroarylalkylenyl,

heterocyclyl,
heterocyclalkylenyl, and

alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,
heterocyclyl, or heterocyclalkylenyl, substituted by one or more substituents selected

20 from the group consisting of:

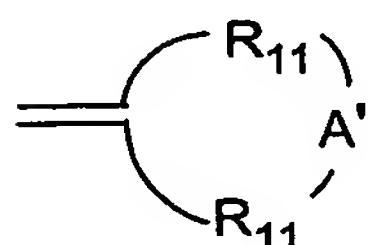
hydroxy,
alkyl,
haloalkyl,
hydroxyalkyl,
25 alkoxy,
dialkylamino,
-S(O)₀₋₂-alkyl,
-S(O)₀₋₂-aryl,
-NH-S(O)₂-alkyl,
30 -NH-S(O)₂-aryl,
haloalkoxy,

halogen,
cyano,
nitro,
aryl,
heteroaryl,
heterocyclyl,
aryloxy,
arylalkyleneoxy,

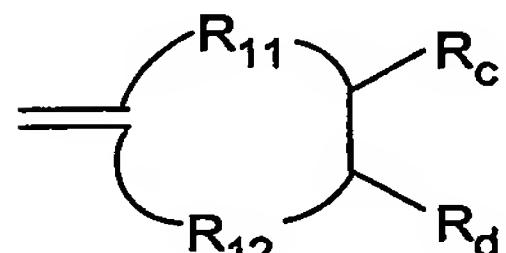
-C(O)-O-alkyl,
-C(O)-N(R₈)₂,
-N(R₈)-C(O)-alkyl,
-O-(CO)-alkyl, and
-C(O)-alkyl;

or R₁' and R₁'' can join together to form a ring system selected from the

group consisting of:



wherein the total number of atoms in the ring is 4 to 9, and



wherein the total number of atoms in the ring is 4 to 9;

n is an integer from 0 to 4;

X' is selected from the group consisting of alkylene, alkenylene, alkynylene,

20 arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

X'' is -CH(R₁₃)-alkylene- or -CH(R₁₃)-alkenylene-;

Y is selected from the group consisting of:

25 -S(O)₀₋₂-,
-S(O)₂-N(R₈)-,
-C(R₆)-,

-C(R₆)-O-,

-O-C(R₆)-,

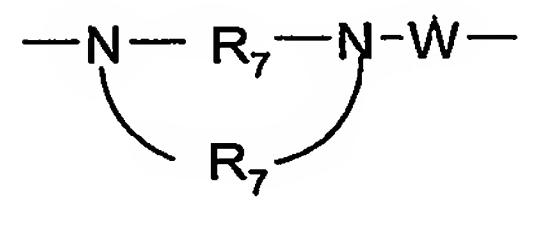
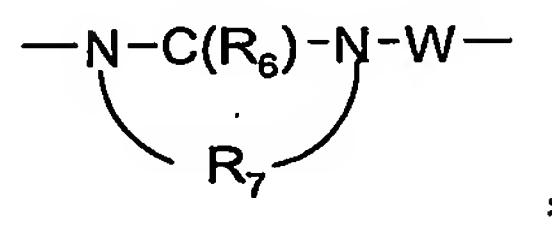
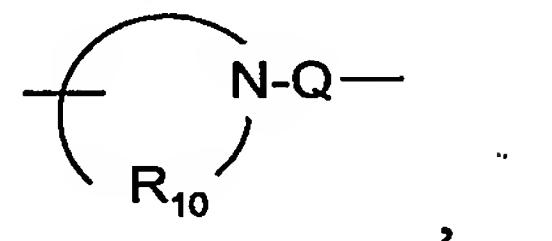
-O-C(O)-O-,

-N(R₈)-Q-,

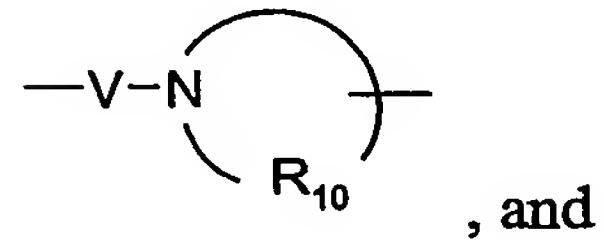
5 -C(R₆)-N(R₈)-,

-O-C(R₆)-N(R₈)-,

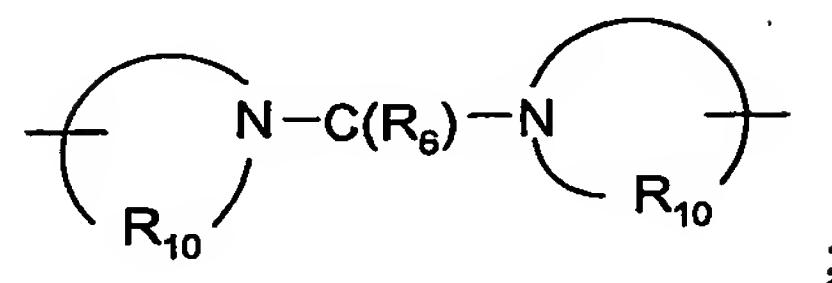
-C(R₆)-N(OR₉)-,



10



, and



Y' is selected from the group consisting of:

a bond,

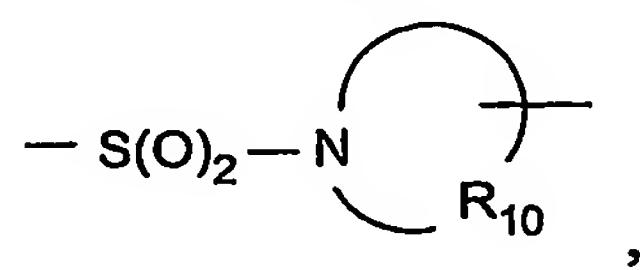
15

-C(O)-,

-C(S)-,

-S(O)₂-,

-S(O)₂-N(R₈)-,



20

-C(O)-O-,

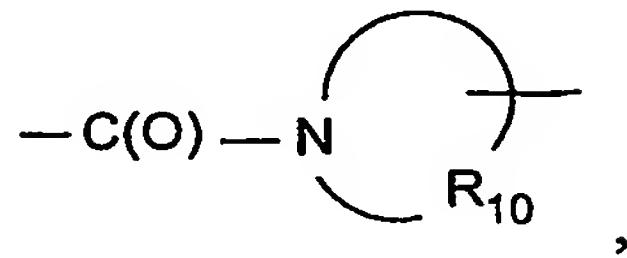
-C(O)-N(R₈)-,

-C(S)-N(R₈)-,

$$-\text{C}(\text{O})-\text{N}(\text{R}_8)-\text{S}(\text{O})_2^-,$$

$$-\text{C}(\text{O})-\text{N}(\text{R}_8)-\text{C}(\text{O})-,$$

$$-\text{C}(\text{S})-\text{N}(\text{R}_8)-\text{C}(\text{O})-,$$



-C(O)-C(O)-,

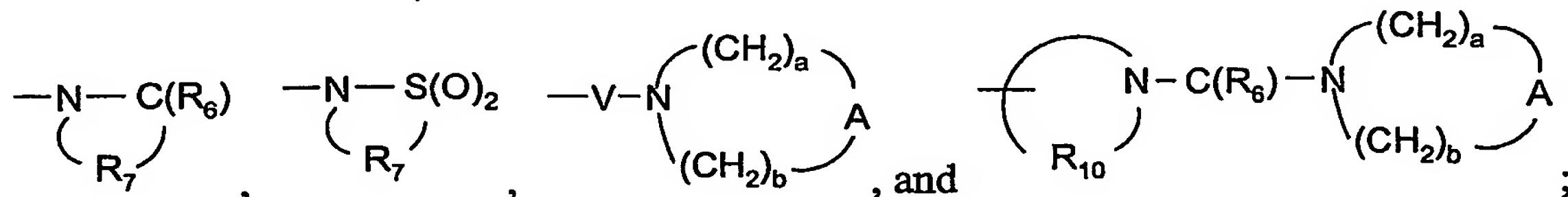
-C(O)-C(O)-O-, and

-C(=NH)-N(R₈)-;

$-C(=NH)-N(R_8)-;$
R_c and R_d are independently selected from the group consisting of hydrogen, halogen, hydroxy, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and $-N(R_9)_2$; or R_c and R_d can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing 10 one to four heteroatoms;

R_4 is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

R_5 is selected from the group consisting of:



25 R₆ is selected from the group consisting of =O and =S;

R₇ is C₂₋₇ alkylene;

R_8 is selected from the group consisting of hydrogen, C_{1-10} alkyl, C_{2-10} alkenyl, C_{1-10} alkoxy- C_{1-10} alkyl, and aryl- C_{1-10} alkyl;

R₉ is selected from the group consisting of hydrogen and alkyl;

R₁₀ is C₃₋₈ alkylene;

R₁₁ is C₁₋₆ alkylene or C₂₋₆ alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

5 R₁₂ is selected from the group consisting of a bond, C₁₋₅ alkylene, and C₂₋₅ alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R₁₃ is selected from the group consisting of hydrogen and alkyl which may be optionally interrupted by one or more -O- groups;

10 A is selected from the group consisting of -CH₂-, -O-, -C(O)-, -S(O)₀₋₂-, and -N(R₄)-;

A' is selected from the group consisting of -O-, -S(O)₀₋₂-, -N(-Q-R₄)-, and -CH₂-;

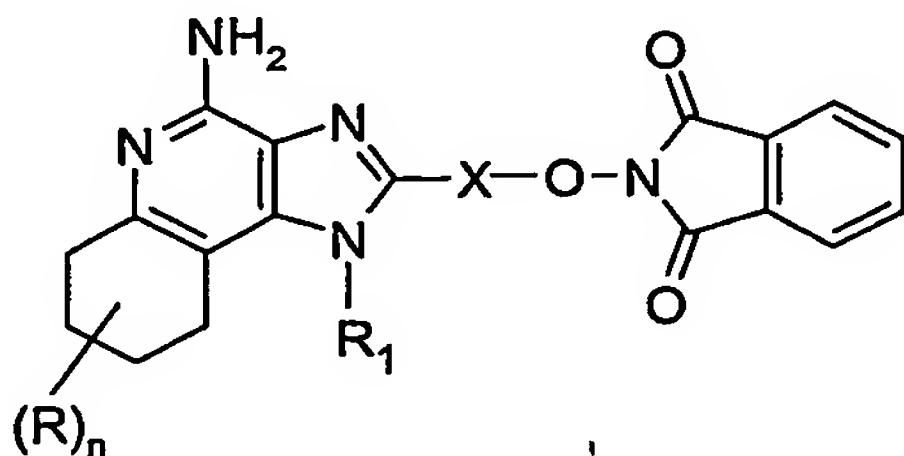
Q is selected from the group consisting of a bond, -C(R₆)-, -C(R₆)-C(R₆)-, -S(O)₂-, -C(R₆)-N(R₈)-W-, -S(O)₂-N(R₈)-, -C(R₆)-O-, and -C(R₆)-N(OR₉)-;

15 V is selected from the group consisting of -C(R₆)-, -O-C(R₆)-, -N(R₈)-C(R₆)-, and -S(O)₂-,

W is selected from the group consisting of a bond, -C(O)-, and -S(O)₂-; and a and b are independently integers from 1 to 6 with the proviso that a + b is \leq 7; or a pharmaceutically acceptable salt thereof.

20

13. A compound of the Formula VIIb:



VIIb

wherein:

25 X is C₁₋₁₀ alkylene or C₂₋₁₀ alkenylene;

R is selected from the group consisting of:

halogen,

hydroxy,

alkyl,
alkenyl,
haloalkyl,
alkoxy,
5 alkylthio, and
-N(R₉)₂;

n is an integer from 0 to 4;

R₁ is selected from the group consisting of:

-R₄,
10 -X'-R₄,
-X'-Y-R₄,
-X'-Y-X'-Y-R₄,
-X'-R₅,
-X"-O-NH-Y'-R₁', and
15 -X"-O-N=C(R₁')(R₁");

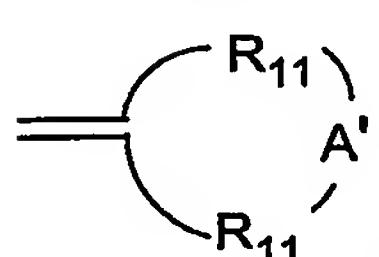
R₁' and R₁" are independently selected from the group consisting of:

hydrogen,
alkyl,
alkenyl,
20 aryl,
arylalkylenyl,
heteroaryl,
heteroarylalkylenyl,
heterocyclyl,
25 heterocyclalkylenyl, and
alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,

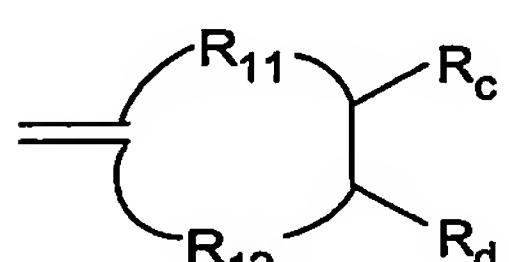
heterocyclyl, or heterocyclalkylenyl, substituted by one or more substituents selected from the group consisting of:

hydroxy,
30 alkyl,
haloalkyl,

hydroxyalkyl,
 alkoxy,
 dialkylamino,
 -S(O)₀₋₂-alkyl,
 5 -S(O)₀₋₂-aryl,
 -NH-S(O)₂-alkyl,
 -NH-S(O)₂-aryl,
 haloalkoxy,
 halogen,
 10 cyano,
 nitro,
 aryl,
 heteroaryl,
 heterocyclyl,
 15 aryloxy,
 arylalkyleneoxy,
 -C(O)-O-alkyl,
 -C(O)-N(R₈)₂,
 -N(R₈)-C(O)-alkyl,
 20 -O-(CO)-alkyl, and
 -C(O)-alkyl;
 or R₁' and R₁'' can join together to form a ring system selected from the
 group consisting of:



wherein the total number of atoms in the ring is 4 to 9, and



25 wherein the total number of atoms in the ring is 4 to 9;

X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and

alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

X" is -CH(R₁₃)-alkylene- or -CH(R₁₃)-alkenylene-;

Y is selected from the group consisting of:

5 -S(O)₀₋₂₋,

-S(O)₂-N(R₈)-,

-C(R₆)-,

-C(R₆)-O-,

-O-C(R₆)-,

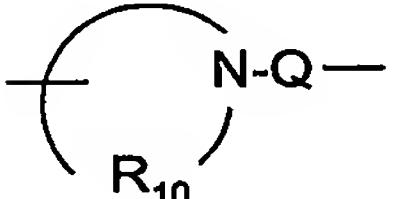
10 -O-C(O)-O-,

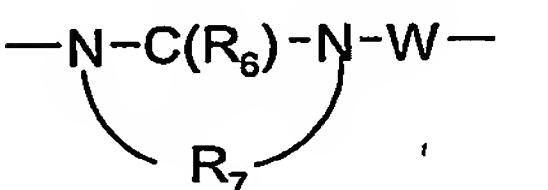
-N(R₈)-Q-,

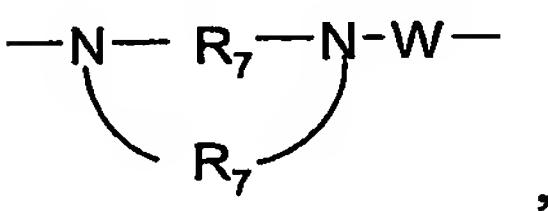
-C(R₆)-N(R₈)-,

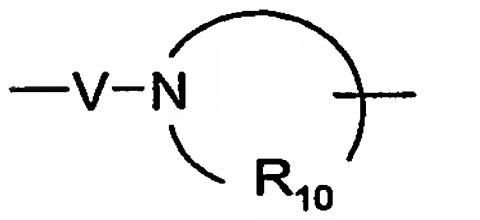
-O-C(R₆)-N(R₈)-,

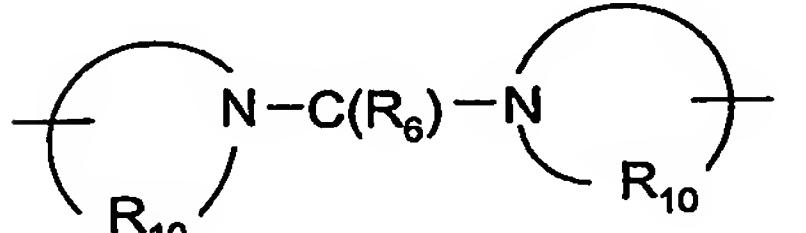
-C(R₆)-N(OR₉)-,

15  ,

 ,

 ,

 , and

 ;

20 Y' is selected from the group consisting of:

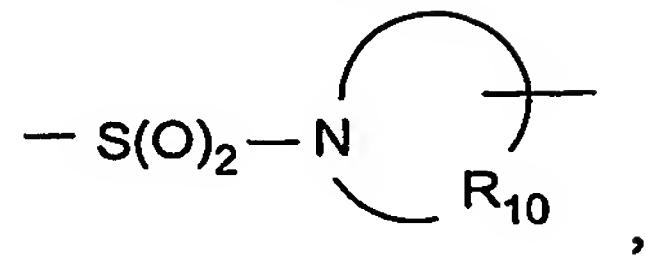
a bond,

-C(O)-,

-C(S)-,

-S(O)₂-,

-S(O)₂-N(R₈)-,



-C(O)-O-,

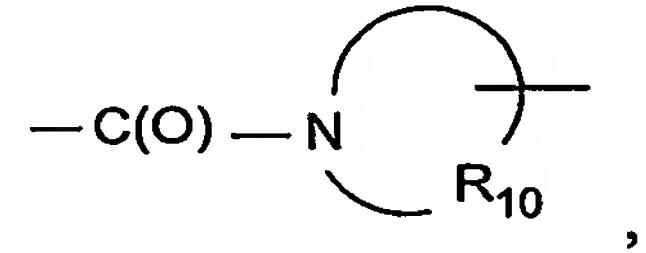
-C(O)-N(R₈)-,

5 -C(S)-N(R₈)-,

-C(O)-N(R₈)-S(O)₂-,

-C(O)-N(R₈)-C(O)-,

-C(S)-N(R₈)-C(O)-,



10 -C(O)-C(O)-,

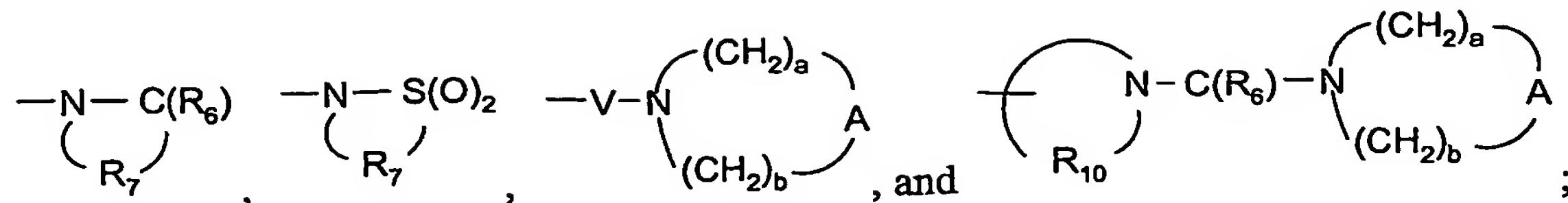
-C(O)-C(O)-O-, and

-C(=NH)-N(R₈)-;

R_c and R_d are independently selected from the group consisting of hydrogen, halogen, hydroxy, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and -N(R₉)₂; or R_c and R_d can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four heteroatoms;

R₄ is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

R₅ is selected from the group consisting of:



R₆ is selected from the group consisting of =O and =S;

R₇ is C₂₋₇ alkylene;

R₈ is selected from the group consisting of hydrogen, C₁₋₁₀ alkyl, C₂₋₁₀ alkenyl,

5 C₁₋₁₀ alkoxy-C₁₋₁₀ alkenyl, and aryl-C₁₋₁₀ alkenyl;

R₉ is selected from the group consisting of hydrogen and alkyl;

R₁₀ is C₃₋₈ alkylene;

R₁₁ is C₁₋₆ alkylene or C₂₋₆ alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

10 R₁₂ is selected from the group consisting of a bond, C₁₋₅ alkylene, and

C₂₋₅ alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R₁₃ is selected from the group consisting of hydrogen and alkyl which may be optionally interrupted by one or more -O- groups;

15 A is selected from the group consisting of -CH₂-, -O-, -C(O)-, -S(O)₀₋₂-, and -N(R₄)-;

A' is selected from the group consisting of -O-, -S(O)₀₋₂-, -N(-Q-R₄)-, and -CH₂-,

Q is selected from the group consisting of a bond, -C(R₆)-, -C(R₆)-C(R₆)-, -S(O)₂-, -C(R₆)-N(R₈)-W-, -S(O)₂-N(R₈)-, -C(R₆)-O-, and -C(R₆)-N(OR₉)-;

20 V is selected from the group consisting of -C(R₆)-, -O-C(R₆)-, -N(R₈)-C(R₆)-, and -S(O)₂-;

W is selected from the group consisting of a bond, -C(O)-, and -S(O)₂-; and

a and b are independently integers from 1 to 6 with the proviso that a + b is \leq 7; or a pharmaceutically acceptable salt thereof.

25

14. The compound or salt of claim 9 wherein p is 0.

15. The compound or salt of any one of claims 4, 5, 9, or 14 wherein m is 0.

16. The compound or salt of any one of claims 3 through 8, or claim 15 as dependent on claim 4 or claim 5, wherein n is 0.

5 17. The compound or salt of any one of claims 4 or 5, or claim 16 as dependent on any of claims 4, 5, or 15 wherein n and m are 0.

18. The compound or salt of any one of claims 7 or 8, or claim 16 as dependent on claim 7 or claim 8 wherein n is 0.

10 19. The compound or salt of claim 9 or claim 15 as dependent on claim 9 or claim 14 wherein m and p are 0.

20. The compound or salt of claim 10 wherein R_{A2} and R_{B2} are each methyl.

15 21. The compound or salt of any one of claims 1, 3, or 6, or claim 16 as dependent on claim 3 or claim 6, wherein R' is selected from the group consisting of:

- R_4 ,

- $X'-R_4$,

- $X'-Y-R_4$,

20 - $X'-Y-X'-Y-R_4$,

- $X'-R_5$,

- $X''-O-NH-Y'-R_1'$, and

- $X''-O-N=C(R_1')(R_1'')$;

wherein:

25 X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

X'' is $-CH(R_{13})$ -alkylene- or $-CH(R_{13})$ -alkenylene-;

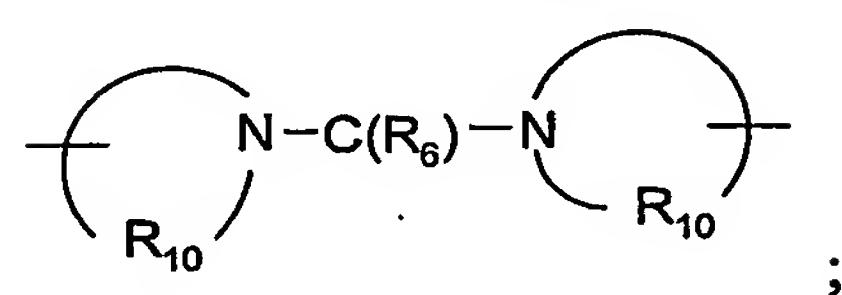
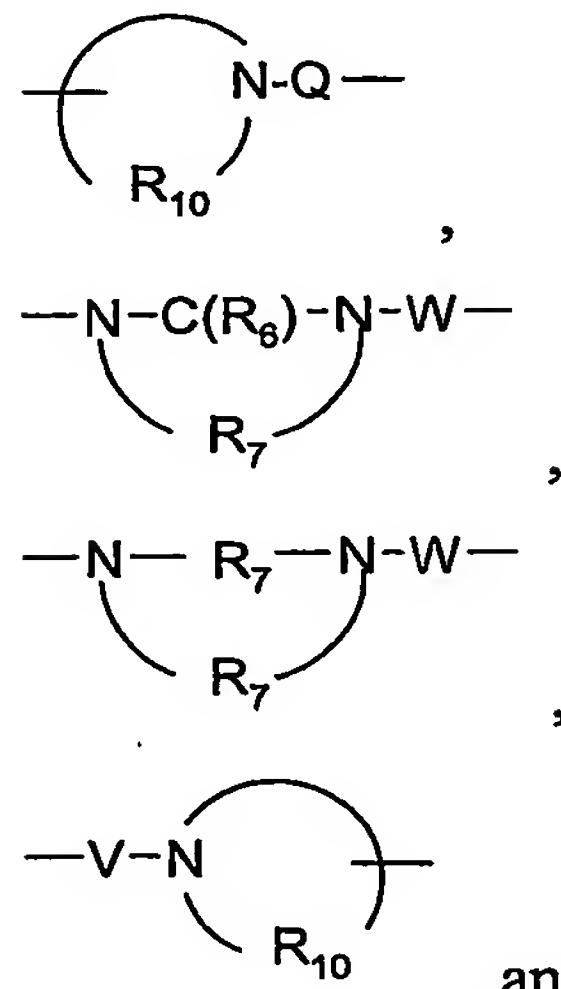
30 Y is selected from the group consisting of:

- $S(O)_{0-2}$,

- $S(O)_2-N(R_8)$ -,

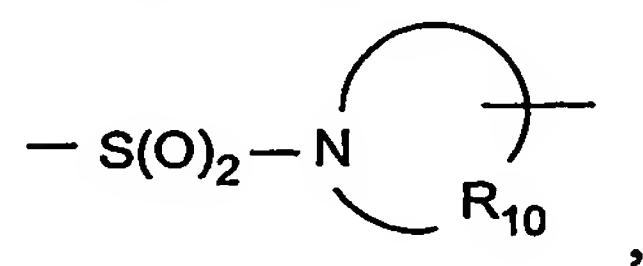
-C(R₆)-,
 -C(R₆)-O-,
 -O-C(R₆)-,
 -O-C(O)-O-,
 5 -N(R₈)-Q-,

-C(R₆)-N(R₈)-,
 -O-C(R₆)-N(R₈)-,
 -C(R₆)-N(OR₉)-,



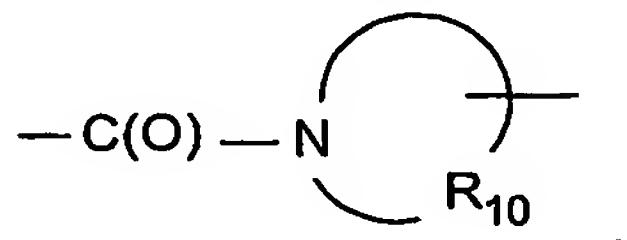
Y' is selected from the group consisting of:

15 a bond,
 -C(O)-,
 -C(S)-,
 -S(O)₂-,
 -S(O)₂-N(R₈)-,



-C(O)-O-,
 -C(O)-N(R₈)-,

$-\text{C}(\text{S})-\text{N}(\text{R}_8)-$,
 $-\text{C}(\text{O})-\text{N}(\text{R}_8)-\text{S}(\text{O})_2-$,
 $-\text{C}(\text{O})-\text{N}(\text{R}_8)-\text{C}(\text{O})-$,
 $-\text{C}(\text{S})-\text{N}(\text{R}_8)-\text{C}(\text{O})-$,



$-\text{C}(\text{O})-\text{C}(\text{O})-$,
 $-\text{C}(\text{O})-\text{C}(\text{O})-\text{O}-$, and
 $-\text{C}(=\text{NH})-\text{N}(\text{R}_8)-$;

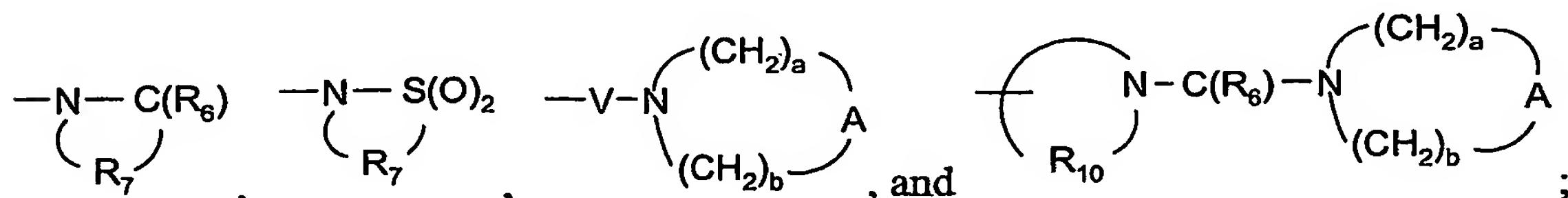
R_1' and R_1'' are the same as R_2 and R'' ;

10 R_4 is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group

15 consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl,

20 oxo;

R_5 is selected from the group consisting of:



R_6 is selected from the group consisting of $=\text{O}$ and $=\text{S}$;

R_7 is C_{2-7} alkylene;

25 R_8 is selected from the group consisting of hydrogen, C_{1-10} alkyl, C_{2-10} alkenyl, C_{1-10} alkoxy- C_{1-10} alkylene, and aryl- C_{1-10} alkylene;

R_9 is selected from the group consisting of hydrogen and alkyl;

R_{10} is C_{3-8} alkylene;

R_{13} is selected from the group consisting of hydrogen and alkyl which may be optionally interrupted by one or more $-O-$ groups;

A is selected from the group consisting of $-CH_2-$, $-O-$, $-C(O)-$, $-S(O)_{0-2-}$, and $-N(R_4)-$;

5 Q is selected from the group consisting of a bond, $-C(R_6)-$, $-C(R_6)-C(R_6)-$, $-S(O)_{2-}$, $-C(R_6)-N(R_8)-W-$, $-S(O)_{2-}N(R_8)-$, $-C(R_6)-O-$, and $-C(R_6)-N(OR_9)-$;

V is selected from the group consisting of $-C(R_6)-$, $-O-C(R_6)-$, $-N(R_8)-C(R_6)-$, and $-S(O)_{2-}$;

10 W is selected from the group consisting of a bond, $-C(O)-$, and $-S(O)_{2-}$; and a and b are independently integers from 1 to 6 with the proviso that $a + b$ is ≤ 7 .

22. The compound or salt of any one of claims 1 or 3, or claim 21 as dependent on any of claims 1 or 3, wherein R''' is R or R_3 when n is 1, R or one R and one R_3 when n is 2, or R when n is 3 to 4;

15 R is selected from the group consisting of:

 halogen,

 hydroxy,

 alkyl,

 alkenyl,

20 haloalkyl,

 alkoxy,

 alkylthio, and

$-N(R_9)_2$;

R_3 is selected from the group consisting of:

25 $-Z-R_4$,

$-Z-X'-R_4$,

$-Z-X'-Y-R_4$,

$-Z-X'-Y-X'-Y-R_4$, and

$-Z-X'-R_5$;

30 n is an integer from 0 to 4;

Z is a bond or $-O-$;

X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

5 Y is selected from the group consisting of:

-S(O)₀₋₂₋,

-S(O)₂-N(R₈)-,

-C(R₆)-,

-C(R₆)-O-,

10 -O-C(R₆)-,

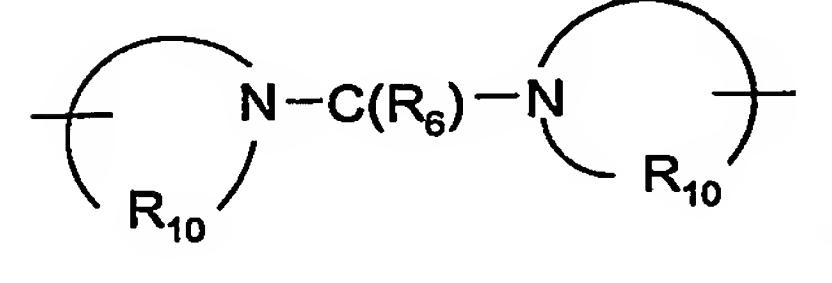
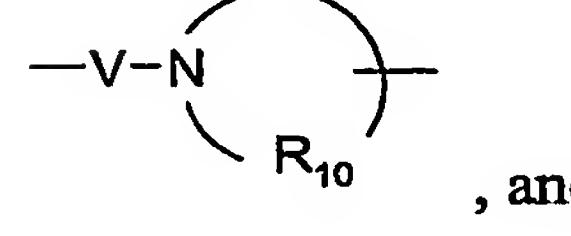
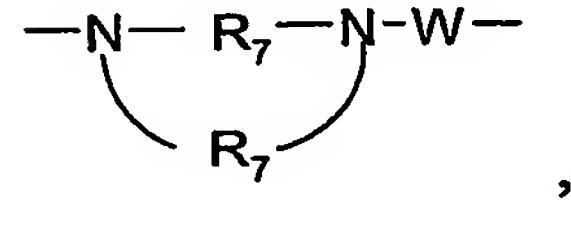
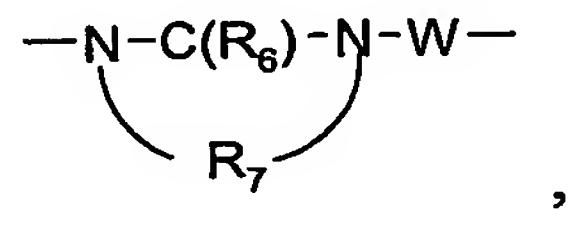
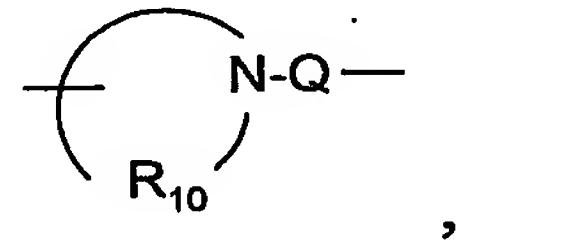
-O-C(O)-O-,

-N(R₈)-Q-,

-C(R₆)-N(R₈)-,

-O-C(R₆)-N(R₈)-,

15 -C(R₆)-N(OR₉)-,



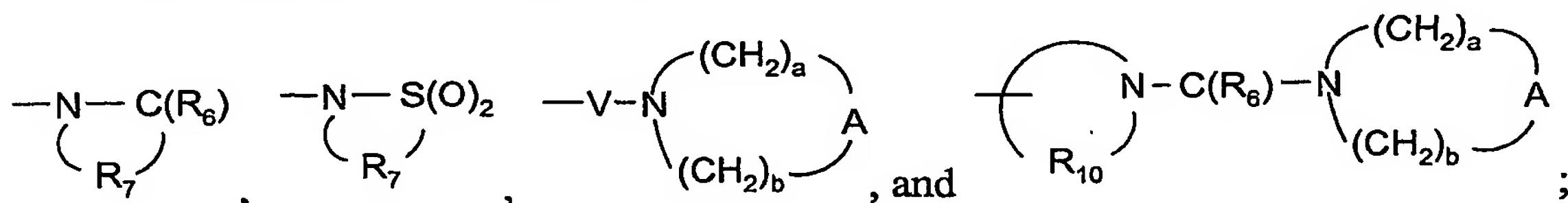
20

R₄ is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl,

heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

5

R_5 is selected from the group consisting of:



10

R_6 is selected from the group consisting of =O and =S;

R_7 is C_{2-7} alkylene;

R_8 is selected from the group consisting of hydrogen, C_{1-10} alkyl, C_{2-10} alkenyl, C_{1-10} alkoxy- C_{1-10} alkylene, and aryl- C_{1-10} alkylene;

R_9 is selected from the group consisting of hydrogen and alkyl;

15

R_{10} is C_{3-8} alkylene;

A is selected from the group consisting of $-\text{CH}_2-$, $-\text{O}-$, $-\text{C}(\text{O})-$, $-\text{S}(\text{O})_{0-2}-$, and $-\text{N}(\text{R}_4)-$;

Q is selected from the group consisting of a bond, $-\text{C}(\text{R}_6)-$, $-\text{C}(\text{R}_6)-\text{C}(\text{R}_6)-$, $-\text{S}(\text{O})_2-$, $-\text{C}(\text{R}_6)-\text{N}(\text{R}_8)-\text{W}-$, $-\text{S}(\text{O})_2-\text{N}(\text{R}_8)-$, $-\text{C}(\text{R}_6)-\text{O}-$, and $-\text{C}(\text{R}_6)-\text{N}(\text{OR}_9)-$;

20

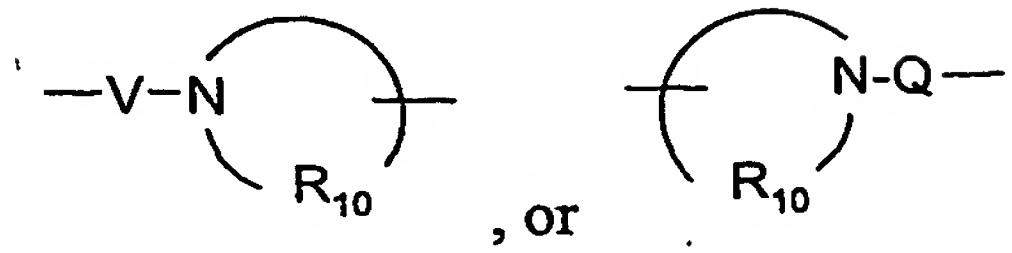
V is selected from the group consisting of $-\text{C}(\text{R}_6)-$, $-\text{O}-\text{C}(\text{R}_6)-$, $-\text{N}(\text{R}_8)-\text{C}(\text{R}_6)-$, and $-\text{S}(\text{O})_2-$;

W is selected from the group consisting of a bond, $-\text{C}(\text{O})-$, and $-\text{S}(\text{O})_2-$; and a and b are independently integers from 1 to 6 with the proviso that $a + b \leq 7$.

25

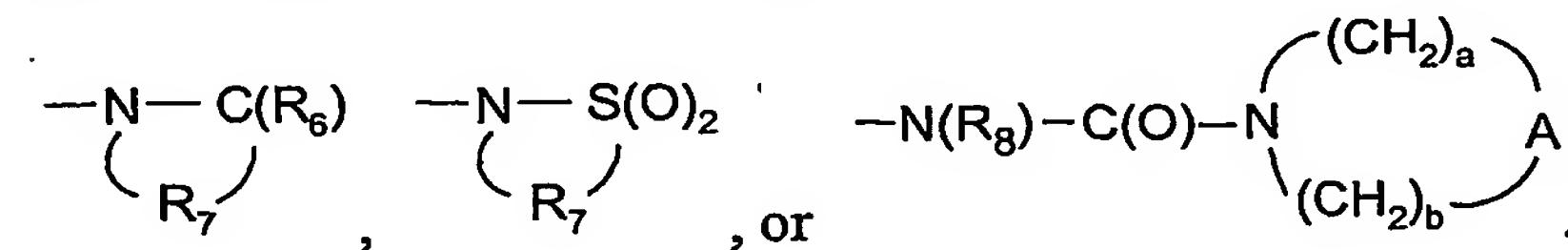
23. The compound or salt of any one of claims 2, 4, 5, 7 through 15, claims 17 through 20, or claim 16 as dependent on any one of claims 4, 5, 7, 8, or 15, wherein R_1 is selected from the group consisting of alkyl, arylalkylene, aryloxyalkylene, hydroxyalkyl, alkylsulfonylalkylene, $-\text{X}'-\text{Y}-\text{R}_4$, and $-\text{X}'-\text{R}_5$; wherein X' is alkylene; Y is $-\text{N}(\text{R}_8)-\text{C}(\text{O})-$, $-\text{N}(\text{R}_8)-\text{S}(\text{O})_2-$, $-\text{N}(\text{R}_8)-\text{S}(\text{O})_2-\text{N}(\text{R}_8)-$, $-\text{N}(\text{R}_8)-\text{C}(\text{O})-\text{N}(\text{R}_8)-$,

$-\text{N}(\text{R}_8)-\text{C}(\text{O})-\text{N}(\text{R}_8)-\text{C}(\text{O})-$,

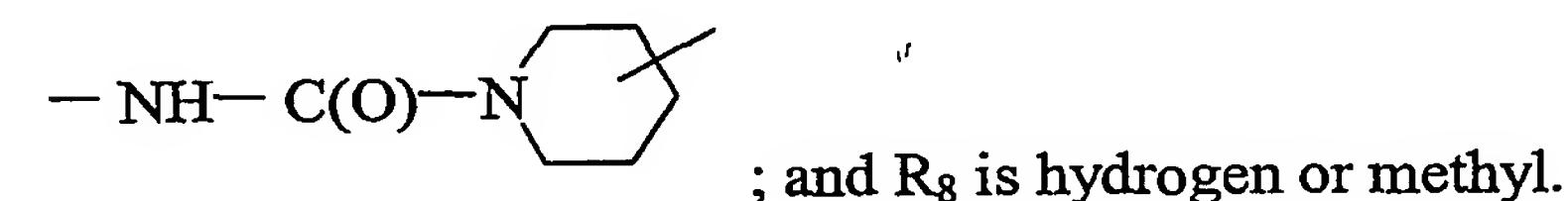


; R_4 is hydrogen, alkyl, alkenyl, aryl, or heteroaryl,

wherein alkyl and alkenyl are optionally substituted by aryl or aryloxy and wherein aryl is optionally substituted by one or more substituents selected from the group consisting of alkyl, alkoxy, cyano, and halogen; and R_5 is



24. The compound or salt of claim 23 wherein R_1 is 2-methylpropyl, 2-hydroxy-2-methylpropyl, or $-\text{X}'-\text{Y}-\text{R}_4$; X' is ethylene, propylene, or butylene; Y is $-\text{NH}-\text{C}(\text{O})-$, $-\text{NH}-\text{S}(\text{O})_2-$, $-\text{NH}-\text{S}(\text{O})_2-\text{N}(\text{R}_8)-$, $-\text{NH}-\text{C}(\text{O})-\text{N}(\text{R}_8)-$, $-\text{NH}-\text{C}(\text{O})-\text{NH}-\text{C}(\text{O})-$, or



25. The compound or salt of any one of claims 1 through 10, 14 through 22, or 24, or claim 23 as dependent on any one of claims 2, 4, 5, 7 through 10 or 14 through 20, wherein at least one of R'' or R_2 is hydrogen.

26. The compound or salt of any one of claims 1 through 10, 14 through 22, 24, or 25, or claim 23 as dependent on any one of claims 2, 4, 5, 7 through 10 or 14 through 20, wherein at least one of R'' or R_2 is selected from the group consisting of alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl, heterocyclyl, and heterocyclylalkylenyl, wherein the alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl, heterocyclyl, and heterocyclylalkylenyl are optionally substituted.

27. The compound or salt of claim 26 wherein at least one of R'' or R_2 is alkyl or substituted alkyl, and at least one of R'' or R_2 is hydrogen.

28. The compound or salt of claim 26 wherein at least one of R" or R₂ is alkenyl or substituted alkenyl, and at least one of R" or R₂ is hydrogen.

29. The compound or salt of claim 26 wherein at least one of R" or R₂ is aryl, 5 arylalkylenyl, substituted aryl, or substituted arylalkylenyl, and at least one of R" or R₂ is hydrogen.

30. The compound or salt of claim 26 wherein at least one of R" or R₂ is heteroaryl, 10 heteroarylalkylenyl, substituted heteroaryl, or substituted heteroarylalkylenyl, and at least one of R" or R₂ is hydrogen.

31. The compound or salt of claim 26 wherein at least one of R" or R₂ is heterocyclyl, 15 heterocyclalkylenyl, substituted heterocyclyl, or substituted heterocyclalkylenyl, and at least one of R" or R₂ is hydrogen.

32. The compound or salt of any one of claims 1 through 10, 14 through 22, or 24, or 15 claim 23 as dependent on any one of claims 2, 4, 5, 7 through 10 or 14 through 20, wherein R₂ and R" are independently C₁₋₁₀ alkyl.

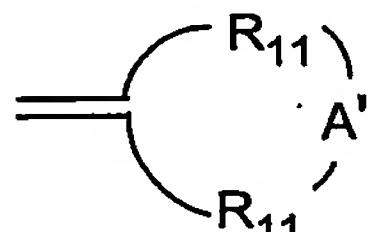
20 33. The compound or salt of claim 32 wherein R₂ and R" are each methyl.

34. The compound or salt of any one of claims 1 through 10, 14 through 22, or 24, or 25 claim 23 as dependent on any one of claims 2, 4, 5, 7 through 10 or 14 through 20, wherein at least one of R" or R₂ is selected from the group consisting of methyl, ethyl, cyclopropyl, 2-(ethoxycarbonyl)cyclopropyl, propyl, butyl, 2-methylpropyl, *tert*-butyl, cyclopentyl, 2-cyclopentylethyl, acetoxymethyl, (ethoxycarbonyl)methyl, furyl, furfuryl, cyclohexyl, tetrahydrofuranyl, 2-(methylthio)ethyl, phenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2,6-dimethoxyphenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2-cyanophenyl, 3-cyanophenyl, 4-cyanophenyl, 4-(dimethylamino)phenyl, 3-hydroxy-4-methoxyphenyl, 4-acetamidophenyl, 4-(methoxycarbonyl)phenyl, 4-trifluoromethylphenyl, phenylmethyl, phenoxyethyl, 1- 30

phenylethyl, 2-phenylethyl, 2-phenylethenyl, biphenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 1-methylpyrrol-2-yl, 1-methylimidazol-2-yl, 1-methylimidazol-4-yl, 3-cyclohexen-1-yl, 3,4-dihydro-2H-pyran-2-yl, 2-thienyl, 3-thienyl, thien-2-ylmethyl, thiazol-2-yl, 5-isoxazolyl, quinolin-2-yl, quinolin-3-yl, quinolin-4-yl, 1-methylindol-2-yl, 1-methylindol-3-yl, 5 hydroxymethyl, 3,4-difluorophenyl, 3-chloro-4-fluorophenyl, 3,4-dichlorophenyl, 4-hydroxyphenyl, 2-hydroxyethyl, 1-hydroxyethyl, 2-hydroxy-2-methylpropyl, heptyl, and 10 pyrrol-3-yl.

35. The compound or salt of any one of claims 1 through 10, 14 through 22, or 24, or 10 claim 23 as dependent on any one of claims 2, 4, 5, 7 through 10 or 14 through 20, wherein R₂ and R" join together to form a ring system.

36. The compound or salt of claim 35 wherein the ring system is



15 , wherein R₁₁ is C₁₋₂ alkylene; A' is -CH₂-, -O-, or -N(-Q-R₄)-; Q is a bond or -C(O)-; and R₄ is alkyl or arylalkylenyl.

37. The compound or salt of any one of claims 1 through 36 wherein X is C₁₋₄ alkylene.

20 38. The compound or salt of claim 37 wherein X is methylene.

39. The compound or salt of any one of claims 2, 4, 5, 7 through 10, 14, 15, or 17 through 20, or claim 16 as dependent on any one of claims 4, 5, 7, 8, or 15, wherein X is C₁₋₄ alkylene; R₂ is C₁₋₄ alkyl; R" is hydrogen or C₁₋₄ alkyl; and R₁ is C₁₋₆ alkyl or 25 hydroxy-C₁₋₆ alkyl.

40. The compound or salt of any one of claims 2, 4, 5, 7 through 10, 14, 15, or 17 through 20, or claim 16 as dependent on any one of claims 4, 5, 7, 8, or 15, wherein X is C₁₋₄ alkylene; R" is C₁₋₄ alkyl; R₂ is hydrogen or C₁₋₄ alkyl; and R₁ is C₁₋₆ alkyl or

hydroxy-C₁₋₆ alkyl.

41. The compound or salt of any one of claims 39 or 40 wherein X is methylene; at least one of R" or R₂ is methyl, ethyl, propyl, butyl, or 2-methylpropyl; and R₁ is 2-methylpropyl, 2-hydroxy-2-methylpropyl, or butyl.

5

42. The compound or salt of any one of claims 39, 40, or 41 wherein X is methylene; R" and R₂ are methyl; and R₁ is 2-methylpropyl or 2-hydroxy-2-methylpropyl.

10 43. A pharmaceutical composition comprising a therapeutically effective amount of a compound or salt of any one of claims 1 through 42 in combination with a pharmaceutically acceptable carrier.

44. A method of inducing cytokine biosynthesis in an animal comprising administering an effective amount of a compound or salt of any one of claims 1 through 42 to the animal.

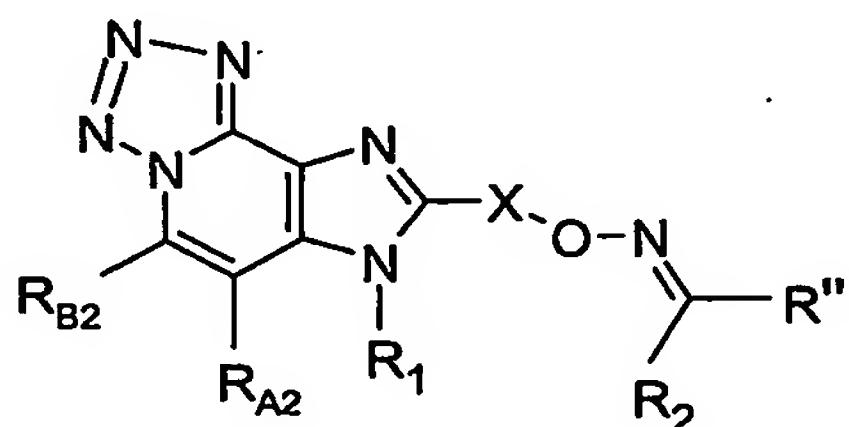
15

45. A method of treating a viral disease in an animal in need thereof comprising administering a therapeutically effective amount of a compound or salt of any one of claims 1 through 42 to the animal.

20

46. A method of treating a neoplastic disease in an animal in need thereof comprising administering a therapeutically effective amount of a compound or salt of any one of claims 1 through 42 to the animal.

25 47. A compound of the Formula VIII:



VIII

wherein:

R_{A2} and R_{B2} are each independently selected from the group consisting of:

hydrogen,

halogen,

alkyl,

5 alkenyl,

alkoxy,

alkylthio, and

$-N(R_9)_2$;

X is C_{1-10} alkylene or C_{2-10} alkenylene;

10 R_1 is selected from the group consisting of:

$-R_4$,

$-X'-R_4$,

$-X'-Y-R_4$,

$-X'-Y-X'-Y-R_4$,

15 $-X'-R_5$,

$-X''-O-NR_{1a}-Y'-R_{1b}$, and

$-X''-O-N=C(R_1')(R_1'')$;

R_2 , R'' , R_{1a} , R_{1b} , R_1' , and R_1'' are independently selected from the group consisting of:

20 hydrogen,

alkyl,

alkenyl,

aryl,

arylalkylenyl,

25 heteroaryl,

heteroarylalkylenyl,

heterocyclyl,

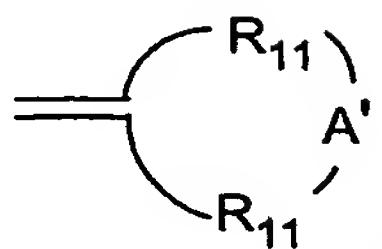
heterocyclalkylenyl, and

alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,

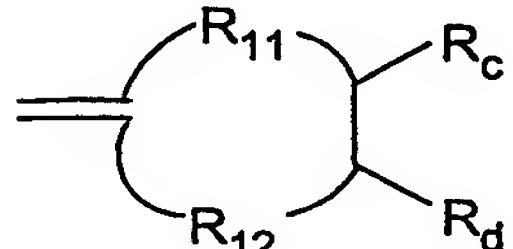
30 heterocyclyl, or heterocyclalkylenyl, substituted by one or more substituents selected from the group consisting of:

hydroxy,
alkyl,
haloalkyl,
hydroxyalkyl,
alkoxy,
amino,
dialkylamino,
-S(O)₀₋₂-alkyl,
-S(O)₀₋₂-aryl,
-NH-S(O)₂-alkyl,
-NH-S(O)₂-aryl,
haloalkoxy,
halogen,
cyano,
nitro,
aryl,
heteroaryl,
heterocyclyl,
aryloxy,
arylalkyleneoxy,
-C(O)-O-alkyl,
-C(O)-N(R₈)₂,
-N(R₈)-C(O)-alkyl,
-O-(CO)-alkyl, and
-C(O)-alkyl;

or R₂ and R" and/or R₁' and R₁" can join together to form a ring system selected from the group consisting of:

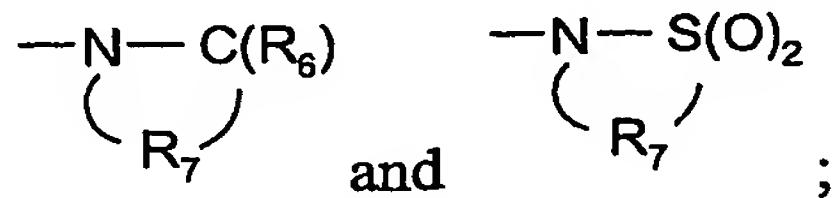


wherein the total number of atoms in the ring is 4 to 9, and



wherein the total number of atoms in the ring is 4 to 9;

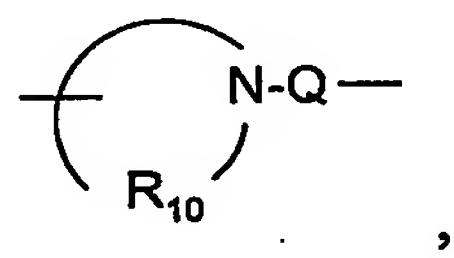
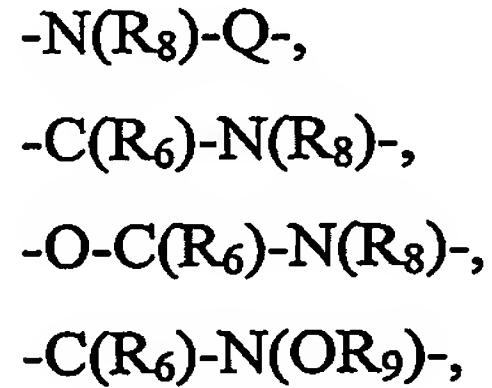
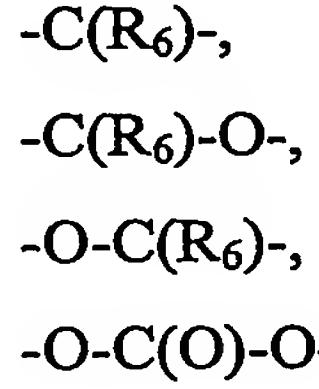
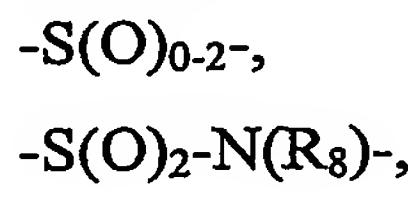
or R_{1a} and R_{1b} together with the nitrogen atom and Y' to which they are bonded can join to form a ring selected from the group consisting of:

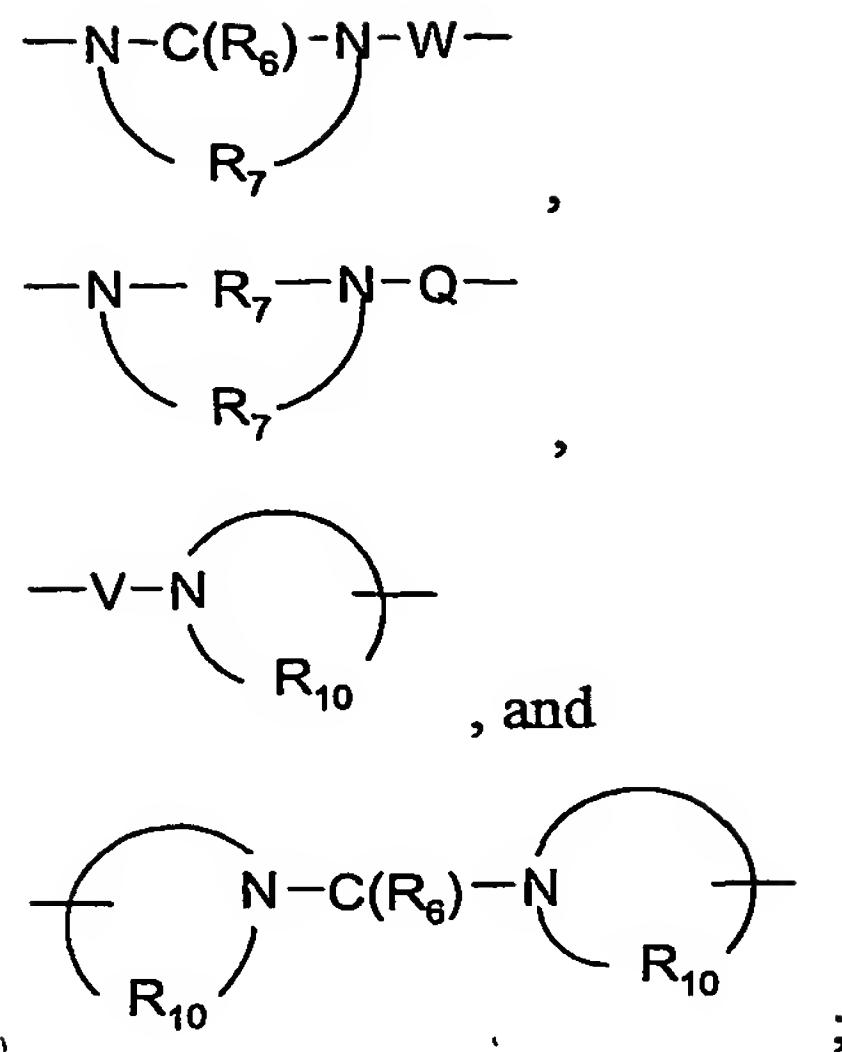


X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

10 X" is selected from the group consisting of $-\text{CH}(\text{R}_{13})$ -alkylene- and $-\text{CH}(\text{R}_{13})$ -alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

Y is selected from the group consisting of:





5 Y' is selected from the group consisting of:

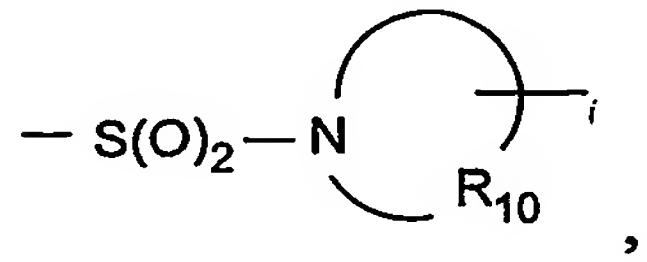
a bond,

-C(O)-,

-C(S)-,

-S(O)₂-,

10 -S(O)₂-N(R₈)-,



-C(O)-O-,

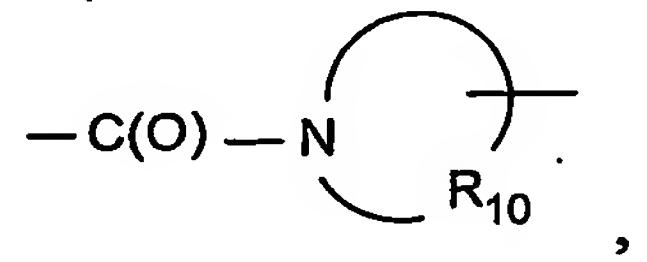
-C(O)-N(R₈)-,

-C(S)-N(R₈)-,

15 -C(O)-N(R₈)-S(O)₂-,

-C(O)-N(R₈)-C(O)-,

-C(S)-N(R₈)-C(O)-,



-C(O)-C(O)-,

20 -C(O)-C(O)-O-, and

-C(=NH)-N(R₈)-;

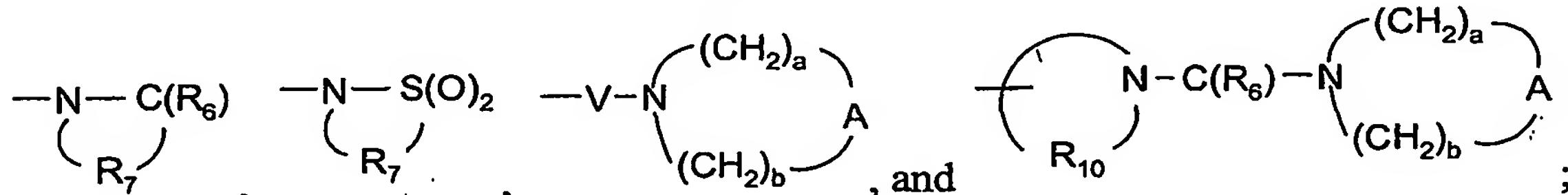
R_c and R_d are independently selected from the group consisting of hydrogen, halogen, hydroxy, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and $-N(R_9)_2$; or R_c and R_d can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four heteroatoms;

5 R_4 is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, 10 oxo;

10

15

R_5 is selected from the group consisting of:



20 R_6 is selected from the group consisting of $=O$ and $=S$;

R_7 is C_{2-7} alkylene;

25 R_8 is selected from the group consisting of hydrogen, C_{1-10} alkyl, C_{2-10} alkenyl, C_{1-10} alkoxy- C_{1-10} alkylene, and aryl- C_{1-10} alkylene;

R_9 is selected from the group consisting of hydrogen and alkyl;

R_{10} is C_{3-8} alkylene;

25 R_{11} is C_{1-6} alkylene or C_{2-6} alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R_{12} is selected from the group consisting of a bond, C_{1-5} alkylene, and C_{2-5} alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R_{13} is selected from the group consisting of hydrogen and alkyl which may be optionally interrupted by one or more $-O-$ groups;

A is selected from the group consisting of $-CH_2-$, $-O-$, $-C(O)-$, $-S(O)_{0-2}-$, and $-N(R_4)-$;

5 A' is selected from the group consisting of $-O-$, $-S(O)_{0-2}-$, $-N(-Q-R_4)-$, and $-CH_2-$;

Q is selected from the group consisting of a bond, $-C(R_6)-$, $-C(R_6)-C(R_6)-$, $-S(O)_2-$, $-C(R_6)-N(R_8)-W-$, $-S(O)_2-N(R_8)-$, $-C(R_6)-O-$, and $-C(R_6)-N(OR_9)-$;

V is selected from the group consisting of $-C(R_6)-$, $-O-C(R_6)-$, $-N(R_8)-C(R_6)-$, and $-S(O)_2-$;

10 W is selected from the group consisting of a bond, $-C(O)-$, and $-S(O)_2-$; and

a and b are independently integers from 1 to 6 with the proviso that $a + b$ is ≤ 7 ;
or a pharmaceutically acceptable salt thereof.

15